```
=> file registry
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STRUCTURE FILE UPDATES:   11 SEP 2006  HIGHEST RN 906423-10-7
DICTIONARY FILE UPDATES:  11 SEP 2006  HIGHEST RN 906423-10-7

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=> d stat que L11

L1              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.
L3          291 SEA FILE=REGISTRY SSS FUL L1
L8              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.
L10         235 SEA FILE=REGISTRY SUB=L3 SSS FUL L8
L11          56 SEA FILE=REGISTRY ABB=ON  PLU=ON  L3 NOT L10

=> file caplus

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STRUCTURE QUERY

AUTHOR SEARCH

FILE COVERS 1907 - 12 Sep 2006 VOL 145 ISS 12
FILE LAST UPDATED: 11 Sep 2006 (20060911/ED)

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http://www.cas.org/infopolicy.html
'OBI' IS DEFAULT SEARCH FIELD FOR 'CAPLUS' FILE

=> d que nos L53

```
L31          22 SEA FILE=CAPLUS ABB=ON  PLU=ON  STAPPER C?/AU
L32          78 SEA FILE=CAPLUS ABB=ON  PLU=ON  GLOMBIK H?/AU
L33         196 SEA FILE=CAPLUS ABB=ON  PLU=ON  FALK E?/AU
L34          11 SEA FILE=CAPLUS ABB=ON  PLU=ON  GRETZKE D?/AU
L35          14 SEA FILE=CAPLUS ABB=ON  PLU=ON  GOERLITZER J?/AU
L36         116 SEA FILE=CAPLUS ABB=ON  PLU=ON  KEIL S?/AU
L37        3586 SEA FILE=CAPLUS ABB=ON  PLU=ON  SCHAEFER H?/AU
L38          52 SEA FILE=CAPLUS ABB=ON  PLU=ON  WENDLER W?/AU
L39          11 SEA FILE=CAPLUS ABB=ON  PLU=ON  L31 AND (L32 OR L33 OR L34 OR
                L35 OR L36 OR L37 OR L38)
L40          35 SEA FILE=CAPLUS ABB=ON  PLU=ON  L32 AND (L33 OR L34 OR L35 OR
                L36 OR L37 OR L38)
L41          21 SEA FILE=CAPLUS ABB=ON  PLU=ON  L33 AND (L34 OR L35 OR L36 OR
                L37 OR L38)
L42           8 SEA FILE=CAPLUS ABB=ON  PLU=ON  L34 AND (L35 OR L36 OR L37 OR
                L38)
L43          11 SEA FILE=CAPLUS ABB=ON  PLU=ON  L35 AND (L36 OR L37 OR L38)
L44          19 SEA FILE=CAPLUS ABB=ON  PLU=ON  L36 AND (L37 OR L38)
L45          14 SEA FILE=CAPLUS ABB=ON  PLU=ON  L37 AND L38
L47          11 SEA FILE=CAPLUS ABB=ON  PLU=ON  L39 AND (L40 OR L41 OR L42 OR
                L43 OR L44 OR L45)
L48          15 SEA FILE=CAPLUS ABB=ON  PLU=ON  L40 AND (L41 OR L42 OR L43 OR
                L44 OR L45)
L49          14 SEA FILE=CAPLUS ABB=ON  PLU=ON  L41 AND (L42 OR L43 OR L44 OR
                L45)
L50           8 SEA FILE=CAPLUS ABB=ON  PLU=ON  L42 AND (L43 OR L44 OR L45)
L51          11 SEA FILE=CAPLUS ABB=ON  PLU=ON  L43 AND (L44 OR L45)
L52          14 SEA FILE=CAPLUS ABB=ON  PLU=ON  L44 AND L45
L53          20 SEA FILE=CAPLUS ABB=ON  PLU=ON  (L47 OR L48 OR L49 OR L50 OR
                L51 OR L52)
```

=> d que nos L54

```
L1              STR
L3          291 SEA FILE=REGISTRY SSS FUL L1
L8              STR
L10         235 SEA FILE=REGISTRY SUB=L3 SSS FUL L8
L11          56 SEA FILE=REGISTRY ABB=ON  PLU=ON  L3 NOT L10
L12           8 SEA FILE=CAPLUS ABB=ON  PLU=ON  L11
L31          22 SEA FILE=CAPLUS ABB=ON  PLU=ON  STAPPER C?/AU
L32          78 SEA FILE=CAPLUS ABB=ON  PLU=ON  GLOMBIK H?/AU
L33         196 SEA FILE=CAPLUS ABB=ON  PLU=ON  FALK E?/AU
L34          11 SEA FILE=CAPLUS ABB=ON  PLU=ON  GRETZKE D?/AU
L35          14 SEA FILE=CAPLUS ABB=ON  PLU=ON  GOERLITZER J?/AU
L36         116 SEA FILE=CAPLUS ABB=ON  PLU=ON  KEIL S?/AU
L37        3586 SEA FILE=CAPLUS ABB=ON  PLU=ON  SCHAEFER H?/AU
L38          52 SEA FILE=CAPLUS ABB=ON  PLU=ON  WENDLER W?/AU
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```
L54            1 SEA FILE=CAPLUS ABB=ON  PLU=ON  (L31 OR L32 OR L33 OR L34 OR
                 L35 OR L36 OR L37 OR L38) AND L12


=> s L53 or L54

L68           20 L53 OR L54

=> file medline embase biosis

FILE 'MEDLINE' ENTERED AT 15:23:31 ON 12 SEP 2006

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=> d que L55

L31           22 SEA FILE=CAPLUS ABB=ON  PLU=ON  STAPPER C?/AU
L32           78 SEA FILE=CAPLUS ABB=ON  PLU=ON  GLOMBIK H?/AU
L33          196 SEA FILE=CAPLUS ABB=ON  PLU=ON  FALK E?/AU
L34           11 SEA FILE=CAPLUS ABB=ON  PLU=ON  GRETZKE D?/AU
L35           14 SEA FILE=CAPLUS ABB=ON  PLU=ON  GOERLITZER J?/AU
L36          116 SEA FILE=CAPLUS ABB=ON  PLU=ON  KEIL S?/AU
L37         3586 SEA FILE=CAPLUS ABB=ON  PLU=ON  SCHAEFER H?/AU
L38           52 SEA FILE=CAPLUS ABB=ON  PLU=ON  WENDLER W?/AU
L39           11 SEA FILE=CAPLUS ABB=ON  PLU=ON  L31 AND (L32 OR L33 OR L34 OR
                 L35 OR L36 OR L37 OR L38)
L40           35 SEA FILE=CAPLUS ABB=ON  PLU=ON  L32 AND (L33 OR L34 OR L35 OR
                 L36 OR L37 OR L38)
L41           21 SEA FILE=CAPLUS ABB=ON  PLU=ON  L33 AND (L34 OR L35 OR L36 OR
                 L37 OR L38)
L42            8 SEA FILE=CAPLUS ABB=ON  PLU=ON  L34 AND (L35 OR L36 OR L37 OR
                 L38)
L43           11 SEA FILE=CAPLUS ABB=ON  PLU=ON  L35 AND (L36 OR L37 OR L38)
L44           19 SEA FILE=CAPLUS ABB=ON  PLU=ON  L36 AND (L37 OR L38)
L45           14 SEA FILE=CAPLUS ABB=ON  PLU=ON  L37 AND L38
L47           11 SEA FILE=CAPLUS ABB=ON  PLU=ON  L39 AND (L40 OR L41 OR L42 OR
                 L43 OR L44 OR L45)
L48           15 SEA FILE=CAPLUS ABB=ON  PLU=ON  L40 AND (L41 OR L42 OR L43 OR
                 L44 OR L45)
L49           14 SEA FILE=CAPLUS ABB=ON  PLU=ON  L41 AND (L42 OR L43 OR L44 OR
                 L45)
L50            8 SEA FILE=CAPLUS ABB=ON  PLU=ON  L42 AND (L43 OR L44 OR L45)
L51           11 SEA FILE=CAPLUS ABB=ON  PLU=ON  L43 AND (L44 OR L45)
L52           14 SEA FILE=CAPLUS ABB=ON  PLU=ON  L44 AND L45
L53           20 SEA FILE=CAPLUS ABB=ON  PLU=ON  (L47 OR L48 OR L49 OR L50 OR
                 L51 OR L52)
L55            5 SEA L53


=> file wpix

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FILE LAST UPDATED:          11 SEP 2006      <20060911/UP>
MOST RECENT DERWENT UPDATE:     200658        <200658/DW>
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>>> PLEASE BE AWARE OF THE NEW IPC REFORM IN 2006, SEE
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INDEX ENHANCEMENTS PLEASE VISIT:
http://www.stn-international.de/stndatabases/details/dwpi_r.html <<<
'BIX' IS DEFAULT SEARCH FIELD FOR 'WPIX' FILE

=> d stat que L57

L31	22	SEA	FILE=CAPLUS ABB=ON	PLU=ON	STAPPER C?/AU
L32	78	SEA	FILE=CAPLUS ABB=ON	PLU=ON	GLOMBIK H?/AU
L33	196	SEA	FILE=CAPLUS ABB=ON	PLU=ON	FALK E?/AU
L34	11	SEA	FILE=CAPLUS ABB=ON	PLU=ON	GRETZKE D?/AU
L35	14	SEA	FILE=CAPLUS ABB=ON	PLU=ON	GOERLITZER J?/AU
L36	116	SEA	FILE=CAPLUS ABB=ON	PLU=ON	KEIL S?/AU
L37	3586	SEA	FILE=CAPLUS ABB=ON	PLU=ON	SCHAEFER H?/AU
L38	52	SEA	FILE=CAPLUS ABB=ON	PLU=ON	WENDLER W?/AU
L39	11	SEA	FILE=CAPLUS ABB=ON	PLU=ON	L31 AND (L32 OR L33 OR L34 OR L35 OR L36 OR L37 OR L38)
L40	35	SEA	FILE=CAPLUS ABB=ON	PLU=ON	L32 AND (L33 OR L34 OR L35 OR L36 OR L37 OR L38)
L41	21	SEA	FILE=CAPLUS ABB=ON	PLU=ON	L33 AND (L34 OR L35 OR L36 OR L37 OR L38)
L42	8	SEA	FILE=CAPLUS ABB=ON	PLU=ON	L34 AND (L35 OR L36 OR L37 OR L38)
L43	11	SEA	FILE=CAPLUS ABB=ON	PLU=ON	L35 AND (L36 OR L37 OR L38)
L44	19	SEA	FILE=CAPLUS ABB=ON	PLU=ON	L36 AND (L37 OR L38)
L45	14	SEA	FILE=CAPLUS ABB=ON	PLU=ON	L37 AND L38
L47	11	SEA	FILE=CAPLUS ABB=ON	PLU=ON	L39 AND (L40 OR L41 OR L42 OR L43 OR L44 OR L45)
L48	15	SEA	FILE=CAPLUS ABB=ON	PLU=ON	L40 AND (L41 OR L42 OR L43 OR L44 OR L45)
L49	14	SEA	FILE=CAPLUS ABB=ON	PLU=ON	L41 AND (L42 OR L43 OR L44 OR L45)
L50	8	SEA	FILE=CAPLUS ABB=ON	PLU=ON	L42 AND (L43 OR L44 OR L45)
L51	11	SEA	FILE=CAPLUS ABB=ON	PLU=ON	L43 AND (L44 OR L45)
L52	14	SEA	FILE=CAPLUS ABB=ON	PLU=ON	L44 AND L45
L57	16	SEA	FILE=WPIX ABB=ON	PLU=ON	(L47 OR L48 OR L49 OR L50 OR L51 OR L52)

=> d stat que L67

L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.
L8 STR

```
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.
L31          22 SEA FILE=CAPLUS ABB=ON  PLU=ON  STAPPER C?/AU
L32          78 SEA FILE=CAPLUS ABB=ON  PLU=ON  GLOMBIK H?/AU
L33         196 SEA FILE=CAPLUS ABB=ON  PLU=ON  FALK E?/AU
L34          11 SEA FILE=CAPLUS ABB=ON  PLU=ON  GRETZKE D?/AU
L35          14 SEA FILE=CAPLUS ABB=ON  PLU=ON  GOERLITZER J?/AU
L36         116 SEA FILE=CAPLUS ABB=ON  PLU=ON  KEIL S?/AU
L37        3586 SEA FILE=CAPLUS ABB=ON  PLU=ON  SCHAEFER H?/AU
L38          52 SEA FILE=CAPLUS ABB=ON  PLU=ON  WENDLER W?/AU
L39          11 SEA FILE=CAPLUS ABB=ON  PLU=ON  L31 AND (L32 OR L33 OR L34 OR
                L35 OR L36 OR L37 OR L38)
L40          35 SEA FILE=CAPLUS ABB=ON  PLU=ON  L32 AND (L33 OR L34 OR L35 OR
                L36 OR L37 OR L38)
L41          21 SEA FILE=CAPLUS ABB=ON  PLU=ON  L33 AND (L34 OR L35 OR L36 OR
                L37 OR L38)
L42           8 SEA FILE=CAPLUS ABB=ON  PLU=ON  L34 AND (L35 OR L36 OR L37 OR
                L38)
L43          11 SEA FILE=CAPLUS ABB=ON  PLU=ON  L35 AND (L36 OR L37 OR L38)
L44          19 SEA FILE=CAPLUS ABB=ON  PLU=ON  L36 AND (L37 OR L38)
L45          14 SEA FILE=CAPLUS ABB=ON  PLU=ON  L37 AND L38
L47          11 SEA FILE=CAPLUS ABB=ON  PLU=ON  L39 AND (L40 OR L41 OR L42 OR
                L43 OR L44 OR L45)
L48          15 SEA FILE=CAPLUS ABB=ON  PLU=ON  L40 AND (L41 OR L42 OR L43 OR
                L44 OR L45)
L49          14 SEA FILE=CAPLUS ABB=ON  PLU=ON  L41 AND (L42 OR L43 OR L44 OR
                L45)
L50           8 SEA FILE=CAPLUS ABB=ON  PLU=ON  L42 AND (L43 OR L44 OR L45)
L51          11 SEA FILE=CAPLUS ABB=ON  PLU=ON  L43 AND (L44 OR L45)
L52          14 SEA FILE=CAPLUS ABB=ON  PLU=ON  L44 AND L45
L57          16 SEA FILE=WPIX ABB=ON  PLU=ON  (L47 OR L48 OR L49 OR L50 OR L51
                OR L52)
L59          29 SEA FILE=WPIX SSS FUL L1
L61          25 SEA FILE=WPIX SSS FUL L8
L62           4 SEA FILE=WPIX ABB=ON  PLU=ON  L59 NOT L61
L63           3 SEA FILE=WPIX ABB=ON  PLU=ON  L62/DCR
L64           3 SEA FILE=WPIX ABB=ON  PLU=ON  (RAFEPR/DCN OR RAMQ5G/DCN OR
                RAMQ5H/DCN OR RA7PF9/DCN)
L65           3 SEA FILE=WPIX ABB=ON  PLU=ON  (1306606-0-0-0/DCRE OR 1306607-0-
                0-0/DCRE OR 572327-0-0-0/DCRE OR 957716-0-0-0/DCRE)
L66           3 SEA FILE=WPIX ABB=ON  PLU=ON  (L63 OR L64 OR L65)
L67           1 SEA FILE=WPIX ABB=ON  PLU=ON  L66 AND L57


=> s L57 or L67

L69          16 L57 OR L67

=> => dup rem L68 L55 L69
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FILE 'WPIX' ENTERED AT 15:24:46 ON 12 SEP 2006
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PROCESSING COMPLETED FOR L68
PROCESSING COMPLETED FOR L55
PROCESSING COMPLETED FOR L69
L70 25 DUP REM L68 L55 L69 (16 DUPLICATES REMOVED)
ANSWERS '1-20' FROM FILE CAPLUS
ANSWERS '21-25' FROM FILE BIOSIS

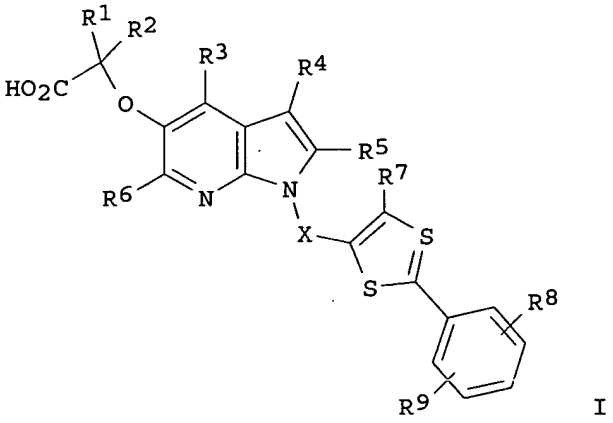
=> d ibib abs hitstr L70 1-20; d iall L70 21-25

L70 ANSWER 1 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 1
ACCESSION NUMBER: 2006:272854 CAPLUS
DOCUMENT NUMBER: 144:312079
TITLE: Preparation of thiazolylmethylazaindoles as peroxisome proliferator activated receptor (PPAR) agonists.
INVENTOR(S): **Keil, Stefanie**; Glien, Maike; **Schaefer, Hans-Ludwig**; **Wendler, Wolfgang**; Bernardelli, Patrick; Terrier, Corinne; Ronan, Baptiste
PATENT ASSIGNEE(S): Sanofi-Aventis Deutschland GmbH, Germany
SOURCE: PCT Int. Appl., 57 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006029699	A1	20060323	WO 2005-EP9269	20050827

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: EP 2004-21667 A 20040911
OTHER SOURCE(S): MARPAT 144:312079
GI



I

AB Title compds. [I; R1, R2 = H, alkyl; R1R2C = atoms to form C3-6 cycloalkyl, Ph; R3 = H, F, Cl, Br, NO2, cyano, CF3, SMe, alkyl, alkenyl, alkylenoxyalkyl; R4 = H, alkyl; R5 = H alkyl, Ph; R6 = H, F, Cl, Br, cyano, CF3, alkyl, alkyleneoxyalkyl, SMe; R7 =(substituted) alkyl, alkyleneoxyalkyl, alkylenephenyl, cycloalkyl, Ph, OPh, etc.; R8, R9 = H, F, Cl, Br, CF3, OCF3, alkyl, alkoxy, SCF3, SF5, OCHF2, OCH2F, OPh, OH, NO2, etc.; X = CH2, CH2CH2], were prepared Thus, 5-methoxy-1H-pyrrolo[2,3-b]pyridine in DMF was stirred with NaH for 30 min; 4-butyl-5-chloromethyl-2-(4-trifluoromethylphenyl)thiazole (preparation given) followed by stirring for 1 h to give 5-bromo-1-[4-butyl-2-(4-trifluoromethylphenyl)thiazol-5-ylmethyl]-1H-pyrrolo[2,3-b]pyridine. The latter was demethylated with BBr3 in CH2Cl2 at -78° to reflux followed by alkylation with tert-Bu bromoacetate/cesium carbonate in DMF followed by hydrolysis with CF3CO2H to give [1-[4-butyl-2-(4-trifluoromethylphenyl)thiazol-5-ylmethyl]-1H-pyrrolo[2,3-b]pyridin-5-yloxy]acetic acid. The latter showed PPARα agonist activity with EC50 = 0.04 μM.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L70 ANSWER 2 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 2

ACCESSION NUMBER: 2006:167981 CAPLUS
DOCUMENT NUMBER: 144:233064
TITLE: Preparation of 2-phenyloxazoles as peroxisome proliferator agonist
INVENTOR(S): **Glombik, Heiner; Stapper, Christian ; Falk, Eugen; Keil, Stefanie; Schaefer, Hans-Ludwig; Wendler, Wolfgang**; Knieps, Stephanie
PATENT ASSIGNEE(S): Sanofi-Aventis Deutschland G.m.b.H., Germany
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006018118	A1	20060223	WO 2005-EP8284	20050730
WO 2006018118	C1	20060518		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

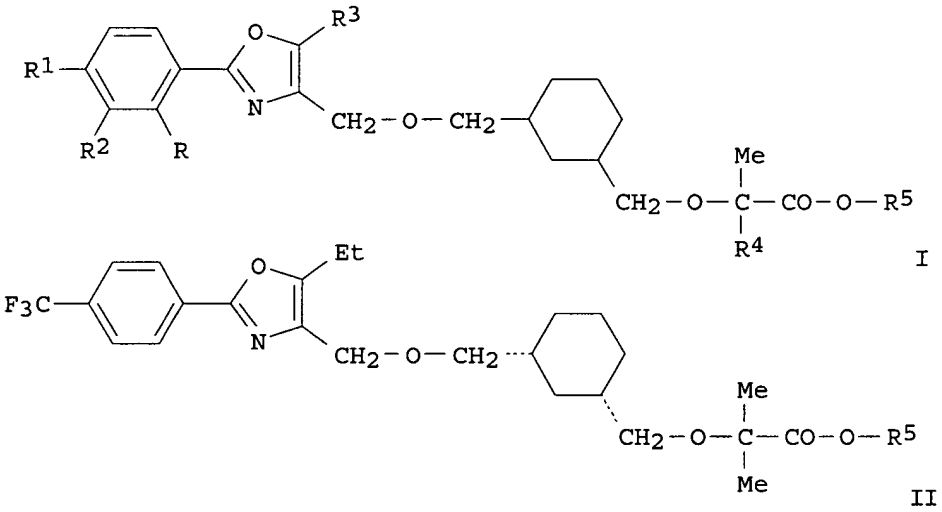
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

DE 102004039533 A1 20060302 DE 2004-102004039533 20040814

PRIORITY APPLN. INFO.: DE 2004-102004039533A 20040814

OTHER SOURCE(S): MARPAT 144:233064

GI



I

II

AB Title compds. I [R = H, CF3; R1 = H, CF3, alkyl, etc.; R2 = H, alkyl, alkoxy, etc.; R3 = alkyl; R4 = alkyl, benzyl; R5 = H, alkyl] and their pharmaceutically acceptable salts were prepared For example, TFA mediated deprotection of t-Bu ester II (R5 = t-Bu) afforded carboxylic acid II (R5 = H). In PPARγ receptor binding assays, compds. I exhibited EC50 values ranging from 0.0016-0.3813 μM.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L70 ANSWER 3 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 3

ACCESSION NUMBER: 2006:166819 CAPLUS

DOCUMENT NUMBER: 144:233061

TITLE: Preparation of 2-phenyloxazoles as peroxisome proliferator agonist

INVENTOR(S): **Glombik, Heiner; Stapper, Christian ; Falk, Eugen; Keil, Stefanie; Schaefer, Hans-Ludwig; Wendler, Wolfgang**; Knieps, Stephanie

PATENT ASSIGNEE(S): Sanofi-Aventis Deutschland G.m.b.H., Germany

SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006018116	A1	20060223	WO 2005-EP8282	20050730
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
DE 102004039509	A1	20060323	DE 2004-102004039509	20040814
PRIORITY APPLN. INFO.:			DE 2004-102004039509A	20040814

OTHER SOURCE(S): MARPAT 144:233061
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

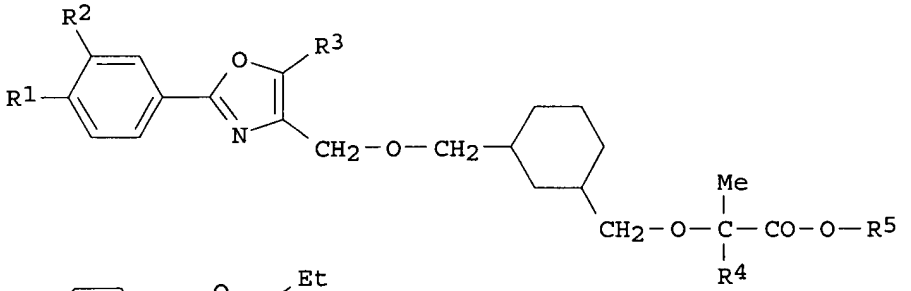
AB Title compds. I [Z = (CH)o; Q = (C)n; n = 0-2; o = 1, 2; A = cycloalkandiyl(sic), cycloalkendiyl(sic); R1, R2 = H, halo, CF3, etc.; R3 = H, alkyl, cycloalkyl, etc.; W = CH, N with provisos; X = alkandiyl (sic); Y = CO, SO, SO2; R4, R5, R6 = H, F, alkyl; R7 = H, alkyl, alkenyl, etc.; R8 = H, alkyl] and their pharmaceutically acceptable salts were prepared For example, N-alkylation of amine II with cis-1,2-cyclobutanedicarboxylic anhydride afforded phenyloxazole III. In PPARγ receptor binding assays, compds. I exhibited EC50 values ranging from 0.6nM >10 μM.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L70 ANSWER 4 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 4
ACCESSION NUMBER: 2006:166904 CAPLUS
DOCUMENT NUMBER: 144:233062
TITLE: Preparation of 2-phenyloxazoles as peroxisome proliferator agonist
INVENTOR(S): **Glombik, Heiner; Stapper, Christian ; Falk, Eugen; Keil, Stefanie; Schaefer, Hans-Ludwig; Wendler, Wolfgang**; Knieps, Stephanie
PATENT ASSIGNEE(S): Sanofi-Aventis Deutschland G.m.b.H., Germany
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2006018115 A1 20060223 WO 2005-EP8281 20050730
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
DE 102004039532 A1 20060302 DE 2004-102004039532 20040814
PRIORITY APPLN. INFO.: DE 2004-102004039532A 20040814
OTHER SOURCE(S): MARPAT 144:233062
GI



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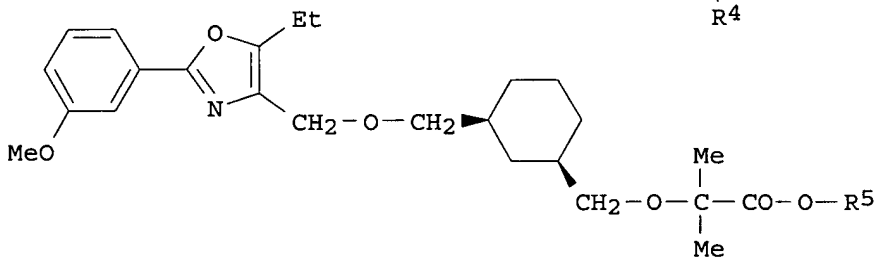


II

AB Title compds. I [R1 = H, alkyl; R2 = H, alkoxy, CF3; R3 = alkyl; R4 = alkyl, benzyl; R5 = H, alkyl] and their pharmaceutically acceptable salts were prepared For example, TFA mediated deprotection of ester II (R5 = t-Bu) afforded acid II (R5 = H). In PPARγ receptor binding assays, compds. I exhibited EC50 values ranging from 0.00016-0.32μM.
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L70 ANSWER 5 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 5
ACCESSION NUMBER: 2005:1126674 CAPLUS
DOCUMENT NUMBER: 143:387046
TITLE: 1,3,4-Oxadiazol-2-ones as PPAR delta modulators, their preparation and use in therapy
INVENTOR(S): McGarry, Daniel G.; **Goerlitzer, Jochen**; **Keil, Stefanie**; Chandross, Karen; Merrill, Jean; **Wendler, Wolfgang**

PATENT ASSIGNEE(S): Aventis Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005097763	A2	20051020	WO 2005-US10855	20050330
WO 2005097763	A3	20051215		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-558420P P 20040401
OTHER SOURCE(S): MARPAT 143:387046
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to 1,3,4-oxadiazolones of formula I, which are modulators of peroxisome proliferator-activated receptor delta (PPAR delta) and their pharmaceutically acceptable salts, stereoisomers, tautomers, or solvates. In compds. I, Ar is (un)substituted Ph or pyridinyl; Z is O(CH2)n, SO2(CH2)n, (CH2)nO(CH2)n, etc., where n is independently 1-5; X is O, S, or (un)substituted amino; R1 is selected from H, halo, C1-6 alkyl, C1-6 alkoxy, C1-6 perfluoroalkyl, hydroxy-C1-6alkyl, nitro, cyano, and C1-6 alkylamino; and R2 is (un)substituted Ph, (un)substituted pyridinyl, or (un)substituted thienyl. The invention also relates to the preparation of I, pharmaceutical compns. containing an effective amount of I and a pharmaceutically acceptable carrier, as well as to the use of the compns. in the treatment of demyelinating diseases, disorders of fatty acid metabolism, and glucose utilization. Et 4-bromo-3-oxopentanoate underwent cyclocondensation with 4-(trifluoromethyl)thiobenzamide to give thiazole II. Hydride reduction of II followed by Mitsunobu reaction with Me 4-hydroxybenzoate, hydrazidation and cyclocondensation with Ph chloroformate resulted in the formation of oxadiazolone III. The compds. of the invention can act as agonists or antagonists and express PPAR delta EC50 values in the range of 1 nM to >10 μM.

L70 ANSWER 6 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 6
ACCESSION NUMBER: 2005:1126673 CAPLUS
DOCUMENT NUMBER: 143:387045
TITLE: 1,3,4-Oxadiazol-2-ones as PPAR delta modulators, their preparation and use in therapy
INVENTOR(S): McGarry, Daniel G.; Chandross, Karen; Merrill, Jean; **Goerlitzer, Jochen; Keil, Stefanie;**

Wendler, Wolfgang; Bernardelli, Patrick
PATENT ASSIGNEE(S): Aventis Pharmaceuticals Inc., USA
SOURCE: PCT Int. Appl., 67 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005097762	A2	20051020	WO 2005-US10854	20050330
WO 2005097762	A3	20051215		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-558419P P 20040401
OTHER SOURCE(S): MARPAT 143:387045
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to 1,3,4-oxadiazolones of formula I, which are modulators of peroxisome proliferator-activated receptor delta (PPAR delta) and their pharmaceutically acceptable salts, stereoisomers, tautomers, or solvates. In compds. I, Ar is (un)substituted Ph or pyridinyl; W is a bond or (CH2)m, where m is 1-4; Z is O(CH2)n, SO2(CH2)n, (CH2)nO(CH2)n, etc., where n is independently 1-5; X is O, S, or (un)substituted amino; R1 is selected from H, halo, C1-6 alkyl, C1-6 alkoxy, C1-6 perfluoroalkyl, hydroxy-C1-6alkyl, nitro, cyano, and C1-6 alkylamino; and R2 is (un)substituted Ph, (un)substituted pyridinyl, or (un)substituted thienyl. The invention also relates to the preparation of I, pharmaceutical compns. containing an effective amount of I and a pharmaceutically acceptable carrier, as well as to the use of the compns. in the treatment of demyelinating diseases, disorders of fatty acid metabolism, and glucose utilization. Me 3-oxoheptanoate underwent α-chlorination followed by cyclocondensation with 4-(trifluoromethyl)thiobenzamide to give thiazole II. Hydride reduction of II and chlorination gave the corresponding (chloromethyl)thiazole, which underwent substitution with Me 3-(3-hydroxyphenyl)propionate followed by hydrazidation and cyclocondensation with Ph chloroformate resulting in the formation of oxadiazolone III. The compds. of the invention can act as agonists or antagonists and express PPAR delta EC50 values in the range of 1 nM to >10 μM.

L70 ANSWER 7 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 7
ACCESSION NUMBER: 2005:1125580 CAPLUS
DOCUMENT NUMBER: 143:387044
TITLE: Preparation of oxadiazolones as peroxisome

proliferator activated receptor delta (PPARδ) agonists.

INVENTOR(S): **Keil, Stefanie**; **Wendler, Wolfgang**; Glien, Maike; **Goerlitzer, Jochen**; Chandross, Karen; McGarry, Daniel G.; Merrill, Jean; Bernardelli, Patrick; Ronan, Baptiste; Terrier, Corinne

PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany

SOURCE: Eur. Pat. Appl., 25 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

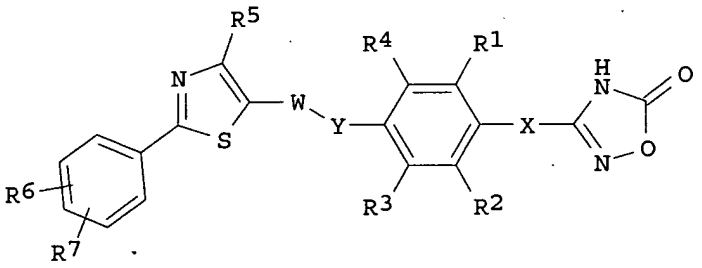
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1586573	A1	20051019	EP 2004-7879	20040401
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
WO 2005097786	A1	20051020	WO 2005-EP2950	20050319
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				

PRIORITY APPLN. INFO.: EP 2004-7879 A 20040401

OTHER SOURCE(S): MARPAT 143:387044

GI



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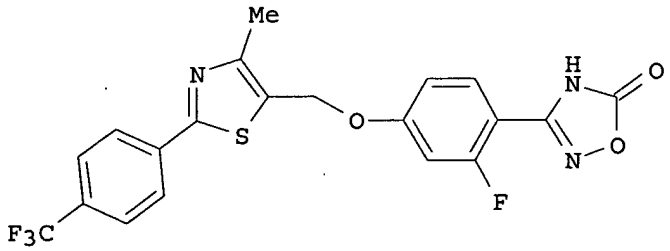


II

AB Title compds. [I; X = CH2, bond; R1-R4 = H, F, Cl, Br, CF3, alkyl, alkoxyalkyl; Y = O, S; R5 = alkyl, alkoxyalkyl, alkylphenyl,

alkoxyalkylphenyl, cycloalkyl, alkenyl, Ph, PhO; R6, R7 = H, F, Br, CF3, OCF3, alkyl, alkoxyalkyl, SCF3, SF5, OCF2CHF2, PhO, OH, NO2], were prepared Thus, 2-fluoro-N-hydroxy-4-[4-methyl-2-(4-trifluoromethylphenyl)thiazole-5-ylmethoxy]benzamidine (preparation given) was stirred 30 min. with Ph chloroformate and pyridine in CH2Cl2 to give a residue which was microwaved with DBU in MeCN at 180° for 10 min. to give title compound (II). I showed PPARδ agonist activity in the range of 1 nM to >10 μM.

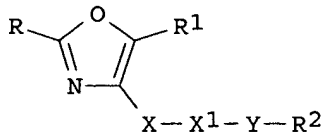
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L70 ANSWER 8 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 8
ACCESSION NUMBER: 2004:740322 CAPLUS
DOCUMENT NUMBER: 141:260738
TITLE: Preparation of oxazolylmethoxycyclohexanols as PPARα agonists for the treatment of type II diabetes
INVENTOR(S): **Gretzke, Dirk; Glombik, Heiner; Falk, Eugen; Goerlitzer, Jochen; Keil, Stefanie; Schaefer, Hans-Ludwig ; Stapper, Christian; Wendler, Wolfgang**
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
SOURCE: PCT Int. Appl., 119 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004076447	A1	20040910	WO 2004-EP1585	20040219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10308354	A1	20041223	DE 2003-10308354	20030227
AU 2004215676	A1	20040910	AU 2004-215676	20040219
CA 2516657	AA	20040910	CA 2004-2516657	20040219
EP 1601671	A1	20051207	EP 2004-712484	20040219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2004007867	A	20060301	BR 2004-7867	20040219
CN 1753887	A	20060329	CN 2004-80005449	20040219
JP 2006519198	T2	20060824	JP 2006-501891	20040219
US 2004198786	A1	20041007	US 2004-789865	20040227
NO 2005004397	A	20051123	NO 2005-4397	20050922

PRIORITY APPLN. INFO.:		
DE 2003-10308354	A	20030227
US 2003-487432P	P	20030715
WO 2004-EP1585	A	20040219

OTHER SOURCE(S): MARPAT 141:260738
GI



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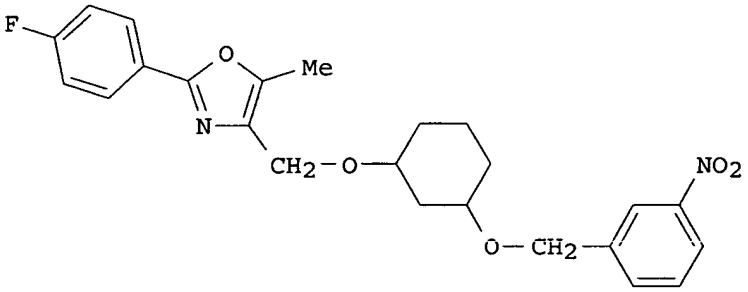

II

AB Title compds. I [R = (un)substituted Ph, annulated Ph; R1 = H, CF3, alkyl, alkoxy, cycloalkyl, Ph; R2 = (un)substituted Ph, oxoheterocyclyl; X = alkanadiyl, oxaalkanediyl; X1 = cycloalkanediyl, cycloalkenediyl, oxacyclalkanediyl, oxacycloalkenediyl; Y = (un)substituted alkanadiyl, alkenediyl] were prepared for treating and/or preventing disturbances of fatty acid metabolism, impaired glucose utilization, and disturbances in which insulin resistance plays a role. Thus, 2-(4-fluorophenyl)-4-iodomethyl-5-methyloxazole was treated with 1,3-cyclohexanediol, followed by 3-O2NC6H4CH2Br to give the title compound II which had EC50 for activation of the PPARα receptor of 91 nM. Compds. I are claimed useful for the treatment of type II diabetes.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L70 ANSWER 9 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 9
ACCESSION NUMBER: 2004:740308 CAPLUS
DOCUMENT NUMBER: 141:260737
TITLE: Preparation of 4-(3-(2-phenyloxazol-4-ylmethoxy)cyclohexyloxy)butanoic acid derivatives as PPAR modulators for treating diabetes and atherosclerosis
INVENTOR(S): **Stapper, Christian; Keil, Stefanie ; Glombik, Heiner; Falk, Eugen; Goerlitzer, Jochen; Gretzke, Dirk; Schaefer, Hans-Ludwig; Wendler, Wolfgang**
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
SOURCE: PCT Int. Appl., 163 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004076428	A1	20040910	WO 2004-EP1586	20040219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,				

CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

DE 10308355	A1	20041223	DE 2003-10308355	20030227
AU 2004215677	A1	20040910	AU 2004-215677	20040219
CA 2517386	AA	20040910	CA 2004-2517386	20040219
EP 1599455	A1	20051130	EP 2004-712503	20040219
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BR 2004007907	A	20060214	BR 2004-7907	20040219
CN 1753879	A	20060329	CN 2004-80005437	20040219
CN 1753881	A	20060329	CN 2004-80005476	20040219
CN 1756748	A	20060405	CN 2004-80005498	20040219
JP 2006519199	T2	20060824	JP 2006-501892	20040219
US 2004209920	A1	20041021	US 2004-789017	20040227
US 2005101637	A1	20050512	US 2004-788996	20040227
US 2005215596	A1	20050929	US 2004-788997	20040227
ZA 2005005768	A	20051123	ZA 2005-5768	20050719
NO 2005004398	A	20051102	NO 2005-4398	20050922

PRIORITY APPLN. INFO.: DE 2003-10308355 A 20030227
US 2003-487510P P 20030715
WO 2004-EP1586 A 20040219

OTHER SOURCE(S): MARPAT 141:260737

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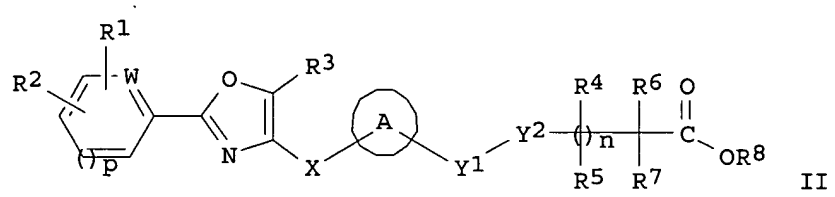

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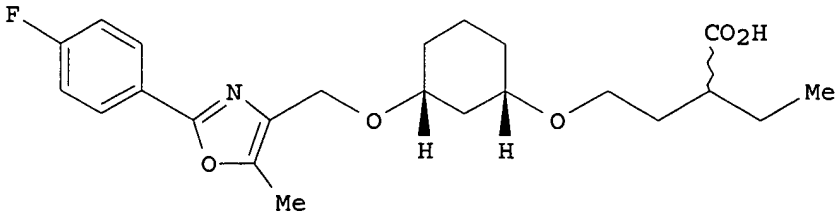


II

AB Title compds. I [A = cycloalkanediyl, cycloalkenediyl, etc.; R1-2 = H, F, Cl, etc.; R3 = H, alkyl, cycloalkyl, etc.; W = CH, N, etc.; p = 0-1; X = alkanediyl, etc.; Y1 = O; Y2 = alkyl, SO1-2; n = 0-2; R4-5 = H, F, alkyl; R6 = H, alkyl, etc.; R7 = H, alk(en/yn)yl, Ph, etc.; R8 = H, alkyl] are prepared For instance, 2-Ethyl-4-[(1R,3S)-3-(2-(4-fluorophenyl)-5-methyloxazol-4-ylmethoxy)cyclohexyloxy]butanoic acid (II) is prepared in 7 steps from 1,3-cyclohexanediol and 2-(4-fluorophenyl)-4-iodomethyl-5-methyloxazole (preparation given). II has EC50 = 41 nM for the PPARα

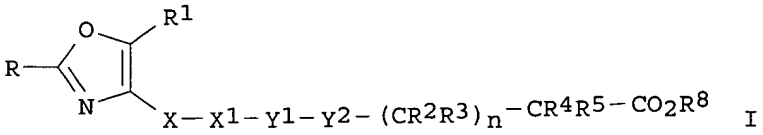
receptor. I are useful for the treatment of, e.g., disorders in the metabolism of fatty acids.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L70 ANSWER 10 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 10
ACCESSION NUMBER: 2004:740307 CAPLUS
DOCUMENT NUMBER: 141:260736
TITLE: Preparation of 3-(2-phenyloxazol-4-ylmethoxy)cyclohexylmethoxyacetic acid derivatives and related compounds used as PPAR modulators for treating type 2 diabetes and arteriosclerosis
INVENTOR(S): **Stapper, Christian; Gretzke, Dirk; Glombik, Heiner; Falk, Eugen; Goerlitzer, Jochen; Keil, Stefanie; Schaefer, Hans-Ludwig; Wendler, Wolfgang**
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
SOURCE: PCT Int. Appl., 189 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004076427	A1	20040910	WO 2004-EP1579		20040219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI					
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
DE 10308355	A1	20041223	DE 2003-10308355		20030227
AU 2004215673	A1	20040910	AU 2004-215673		20040219
CA 2517381	AA	20040910	CA 2004-2517381		20040219
EP 1599452	A1	20051130	EP 2004-712490		20040219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
BR 2004007758	A	20060214	BR 2004-7758		20040219
CN 1753879	A	20060329	CN 2004-80005437		20040219
CN 1753881	A	20060329	CN 2004-80005476		20040219
CN 1756748	A	20060405	CN 2004-80005498		20040219
JP 2006519194	T2	20060824	JP 2006-501886		20040219
US 2004209920	A1	20041021	US 2004-789017		20040227
US 2005101637	A1	20050512	US 2004-788996		20040227
US 2005215596	A1	20050929	US 2004-788997		20040227
ZA 2005005768	A	20051123	ZA 2005-5768		20050719
NO 2005004408	A	20051123	NO 2005-4408		20050922
PRIORITY APPLN. INFO.:			DE 2003-10308355	A	20030227
			US 2003-487510P	P	20030715
			WO 2004-EP1579	A	20040219

OTHER SOURCE(S): MARPAT 141:260736
GI



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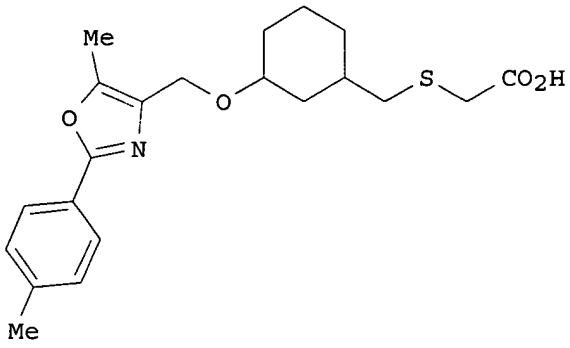


II

AB Title compds. I [X = alkanediyl, oxaalkanediyl; X1 = cycloalkanediyl, cycloalkenediyl, oxacycloalkanediyl, oxacycloalkenediyl; Y1 = (un)substituted CH2, CH2CH2; Y2 = CH2, O, S, S(O), SO2, (un)substituted NH; R = (un)substituted or annulated Ph, pyridinyl, furyl, thienyl, pyrrolyl; R1 = H, alkyl, cycloalkyl, cycloalkylalkyl, Ph, aralkyl, heteroaryl, heteroarylalkyl, fluoroalkyl; R2, R3 = H, alkyl, F, (un)substituted NH; R4 = H, alkyl, F; R5 = H, F, alkyl, alkoxy, alkenyl, alkynyl, cycloalkyl, Ph, substituted alkyl; CR4R5 = cycloalkyl; R6 = H, alkyl] were prepared for use as PPAR modulators for treating disorders of the fatty acid metabolism and disorders of glucose utilization in addition to disorders, in which insulin resistance plays a part. Thus, the title compound II was prepared in a multi-stage synthesis and had EC50 for activation of the PPARα receptor of 0.07 nM.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L70 ANSWER 11 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 11
ACCESSION NUMBER: 2004:740306 CAPLUS
DOCUMENT NUMBER: 141:243829
TITLE: Synthesis of oxazol-4-yl-cyclohexanecarbonyl-amino acid derivatives as peroxisome proliferator activated receptor (ppar) modulators for the treatment of type 2 diabetes and atherosclerosis
INVENTOR(S): **Stapper, Christian; Gretzke, Dirk; Falk, Eugen; Goerlitzer, Jochen; Keil, Stefanie; Schaefer, Hans-Ludwig ; Glombik, Heiner; Wendler, Wolfgang**
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
SOURCE: PCT Int. Appl., 114 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004076426	A1	20040910	WO 2004-EP1578	20040219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10308355	A1	20041223	DE 2003-10308355	20030227
AU 2004215672	A1	20040910	AU 2004-215672	20040219
CA 2516620	AA	20040910	CA 2004-2516620	20040219
EP 1599453	A1	20051130	EP 2004-712494	20040219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2004007814	A	20060214	BR 2004-7814	20040219
CN 1753879	A	20060329	CN 2004-80005437	20040219
CN 1753881	A	20060329	CN 2004-80005476	20040219
CN 1756748	A	20060405	CN 2004-80005498	20040219
JP 2006519193	T2	20060824	JP 2006-501885	20040219
US 2004209920	A1	20041021	US 2004-789017	20040227
US 2005101637	A1	20050512	US 2004-788996	20040227
US 2005215596	A1	20050929	US 2004-788997	20040227
ZA 2005005768	A	20051123	ZA 2005-5768	20050719
NO 2005004396	A	20051111	NO 2005-4396	20050922
PRIORITY APPLN. INFO.:			DE 2003-10308355 A	20030227
			US 2003-487510P P	20030715
			WO 2004-EP1578 A	20040219

OTHER SOURCE(S): MARPAT 141:243829
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention relates to cis-cyclohexyl-substituted amino acid derivs., e.g. (I), and their physiol. acceptable salts and physiol. functional derivs., as suitable compds. for treatment and/or prevention of disturbances of fatty acid metabolism, impaired glucose utilization, and disturbances in which insulin resistance plays a role, for example. Intermediate (II) was prepared from Et 4-methyl-3-oxo-pentanoic acid, which was reacted with sodium nitrite in water to give Et 2-hydroxyimino-4-methyl-3-oxo-pentanoic acid, which was then reduced to the amine hydrochloride salt, reacted with 4-methylbenzoyl chloride, and the product cyclized to the substituted oxazole using phosphoroxychloride. The resulting intermediate was reduced to the 4-methanol derivative, which was iodinated to give II. Intermediate (III) was prepared from 6-oxabicyclo[3.2.1]octan-7-one by formation of the ring-opened Me ester diphenyl-methylsilyl ether derivative, which was coupled with H-L-Val-OtBu, and the product O-deprotected. Coupling of II and III gave title compds. Separation of the cis-cyclohexane isomers could be accomplished using HPLC techniques. Title compound (IV), prepared in the same fashion using H-L-Ala-OtBu and III prepared from 3-oxabicyclo[3.3.1]nonane, had EC50 of 1.2 nM when tested in vitro against PPARα; similarly prepared I had EC50 99 nM.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS

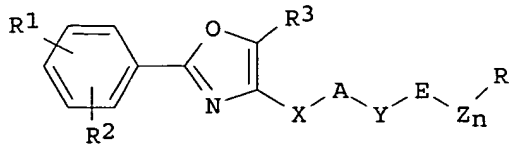
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L70 ANSWER 12 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 12
ACCESSION NUMBER: 2004:740160 CAPLUS
DOCUMENT NUMBER: 141:260735
TITLE: Production method for 1,3-substituted cycloalkyl derivatives containing acidic, mainly heterocyclic groups and use thereof as medicaments
INVENTOR(S): **Goerlitzer, Jochen; Glombik, Heiner ; Falk, Eugen; Gretzke, Dirk; Keil, Stefanie; Schaefer, Hans-Ludwig ; Stapper, Christian; Wendler, Wolfgang**
PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany
SOURCE: PCT Int. Appl., 108 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004075891	A1	20040910	WO 2004-EP1582	20040219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10308351	A1	20041125	DE 2003-10308351	20030227
AU 2004216519	A1	20040910	AU 2004-216519	20040219
CA 2517307	AA	20040910	CA 2004-2517307	20040219
EP 1599203	A1	20051130	EP 2004-712489	20040219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2004007869	A	20060301	BR 2004-7869	20040219
CN 1753671	A	20060329	CN 2004-80005448	20040219
JP 2006519195	T2	20060824	JP 2006-501888	20040219
US 2004209932	A1	20041021	US 2004-789281	20040227

PRIORITY APPLN. INFO.:		
DE 2003-10308351	A	20030227
US 2003-487566P	P	20030715
WO 2004-EP1582	A	20040219

OTHER SOURCE(S): MARPAT 141:260735
GI



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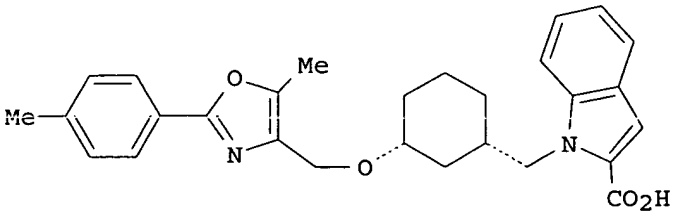

II

AB The invention relates to 1,3-substituted cycloalkyl derivs. containing acidic, mainly heterocyclic groups, in addition to their physiol. compatible salts and physiol. functional derivs. The invention relates to compds. I [A = C3-8-cycloalkanediyl, C3-8-cycloalkenediyl (optionally containing an O instead of one C); E = (CH2)m; R1, R2 = H, F, Br, Cl, SF5, S-(C1-6-alkyl), CF3, OCF3, C1-6-alkyl, O-(C1-6-alkyl), SCF3, OPh, OCF2CHF2, OCF2CF3, (C1-6-alkyl)-(C1-6-alkoxy), O-(C1-6-alkyl)-(C1-6-alkoxy), OCH2Ph; R3 = H, CF3, C1-6-alkyl, C3-8-cycloalkyl, Ph; X = C1-6-alkanediyl (optionally containing an O instead of C); Y = S, O, bond; m = 1 - 3; n = 0, 1; Z = O, S, C:O, C(:O)NH; R = H, OH, CH2CONHOH, CH2CONH(C1-6-alkyl), CH2CONH(C1-6-alkoxy), NR4R5, 5- to 12-membered mono- or bicyclic, (un)saturated ring containing 1 or more N, O, S ; R4 = H, C1-6-alkyl {optionally substituted with F, Cl, Br, CN, SH, CO2H, C1-4-alkyl, C1-6-alkoxy, SO2-(C1-4-alkyl), NO2, CF3, OCF3, (C1-6-alkyl)-(C1-6-alkoxy), (C1-6-alkoxy)-(C1-6-alkoxy), (C1-6-alkoxy)-(C1-6-alkoxy)C6H4, OPh, NHSO2CF3, B(OH)2}; R5 = OH, NH2, SO2CF3, SO2C6H4CF3, COCF3, C1-6-alkoxy, Ph, C6H4Me, C6H4CO2H; NR4R5 = (un)substituted 5-membered aromatic heterocycle, optionally fused with 5- to 7-membered aromatic heterocycle {optionally substituted with F, Cl, Br, CF3, OCF3, CO2H, SO2Me, CN, C1-4-alkoxy, C1-4-alkyl, (C1-4-alkyl)C6H4, (C1-6-alkyl)-(C1-6-alkoxy), (C1-6-alkoxy)-(C1-6-alkoxy), (C1-6-alkoxy)-(C1-6-alkoxy)C6H4, OPh}] , in addition to their physiol. compatible salts and to a method for their production

The compds. are suitable for treating and/or preventing disorders of the fatty acid metabolism and disorders of glucose utilization in addition to disorders, in which insulin resistance plays a part.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L70 ANSWER 13 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 13
ACCESSION NUMBER: 2004:740108 CAPLUS
DOCUMENT NUMBER: 141:260734
TITLE: Preparation of diarylcycloalkyl oxazole derivatives and their use in the treatment of, e.g., fatty acid metabolism
INVENTOR(S): **Goerlitzer, Jochen; Glombik, Heiner**

; **Falk, Eugen; Gretzke, Dirk; Keil, Stefanie; Schaefer, Hans-Ludwig ; Stapper, Christian; Wendler, Wolfgang**

PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004075815	A2	20040910	WO 2004-EP1584	20040219
WO 2004075815	A3	20041229		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10308353	A1	20041202	DE 2003-10308353	20030227
AU 2004216520	A1	20040910	AU 2004-216520	20040219
CA 2516573	AA	20040910	CA 2004-2516573	20040219
EP 1599454	A2	20051130	EP 2004-712502	20040219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2004007901	A	20060214	BR 2004-7901	20040219
CN 1753880	A	20060329	CN 2004-80005447	20040219
JP 2006519197	T2	20060824	JP 2006-501890	20040219
US 2004204462	A1	20041014	US 2004-789019	20040227
NO 2005004381	A	20050921	NO 2005-4381	20050921
NO 2005004382	A	20050921	NO 2005-4382	20050921

PRIORITY APPLN. INFO.:	DE 2003-10308353	A	20030227
	DE 2003-10308351	A	20030227
	US 2003-494911P	P	20030813
	WO 2004-EP1584	A	20040219

OTHER SOURCE(S): MARPAT 141:260734
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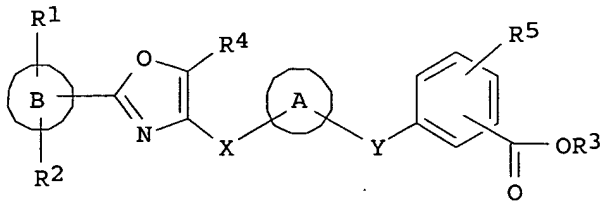

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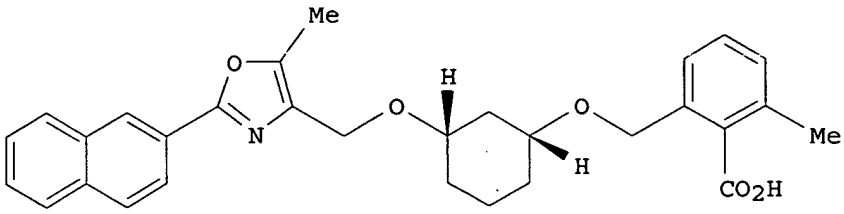

II

AB Title compds. I [A = cycloalkanediyl, cycloalkenediyl, etc.; B = Ph, heterocyclic, etc.; R1 = SCF3, OCF2-CHF2, phenoxy, etc.; R2 = H, CF3; R3 = H, alkyl; R4 = Ph, H, F, Cl, Br, etc.; R5 = H, F, Cl, Br, OH, etc.; X, Y = alkanediyl, etc.] are prepared For instance, 2-Methyl-6-[(((1R,3S)-3-((5-methyl-2-(naphthalen-2-yl)oxazol-4-yl)methoxy)cyclohexyl)oxy)methyl]benzoic acid (II) is prepared in 7 steps using naphthalene-2-carboxaldehyde, diacetylmonooxime, 1,3-cyclohexanediol and 2-bromomethyl-6-methylbenzoic acid Me ester. II has an EC50 = 0.2 nM for the PPARα receptor. I are useful for treating disorders of the fatty acid metabolism and glucose utilization in addition to disorders of insulin resistance.

L70 ANSWER 14 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 14
ACCESSION NUMBER: 2004:738381 CAPLUS
DOCUMENT NUMBER: 141:260284
TITLE: Preparation of cis-3-hydroxy-cyclohexanebutanoic acids as PPAR agonists for the treatment of type II diabetes
INVENTOR(S): **Stapper, Christian; Glombik, Heiner ; Falk, Eugen; Goerlitzer, Jochen; Gretzke, Dirk; Keil, Stefanie; Schaefer, Hans-Ludwig; Wendler, Wolfgang**
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
SOURCE: Ger. Offen., 30 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10308356	A1	20040909	DE 2003-10308356	20030227
AU 2004215678	A1	20040910	AU 2004-215678	20040219
CA 2516633	AA	20040910	CA 2004-2516633	20040219
WO 2004076401	A1	20040910	WO 2004-EP1587	20040219

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GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE,
BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU,
MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN,
GQ, GW, ML, MR, NE, SN, TD, TG

EP 1599443	A1	20051130	EP 2004-712498		20040219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK					
BR 2004007871	A	20060301	BR 2004-7871		20040219
CN 1753865	A	20060329	CN 2004-80005473		20040219
JP 2006519200	T2	20060824	JP 2006-501893		20040219
US 2004220261	A1	20041104	US 2004-789324		20040227
NO 2005004389	A	20051103	NO 2005-4389		20050922
PRIORITY APPLN. INFO.:			DE 2003-10308356	A	20030227
			US 2003-487437P	P	20030715
			WO 2004-EP1587	A	20040219

OTHER SOURCE(S): MARPAT 141:260284
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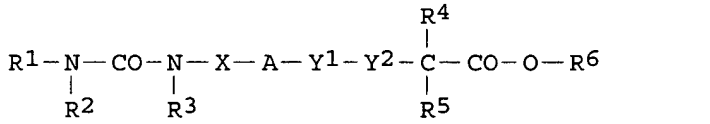

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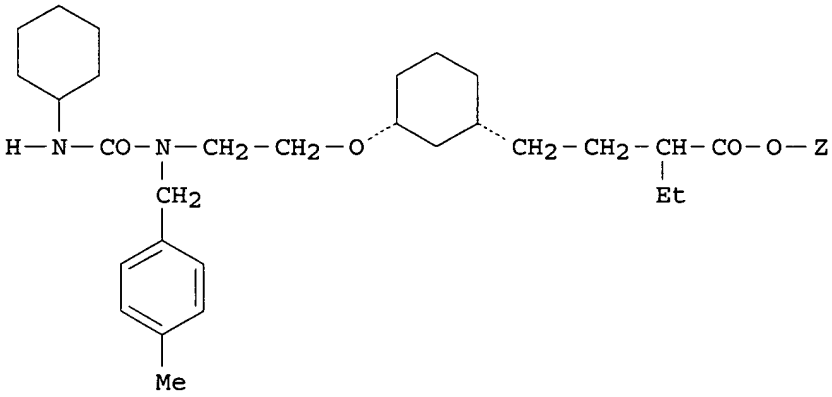


II

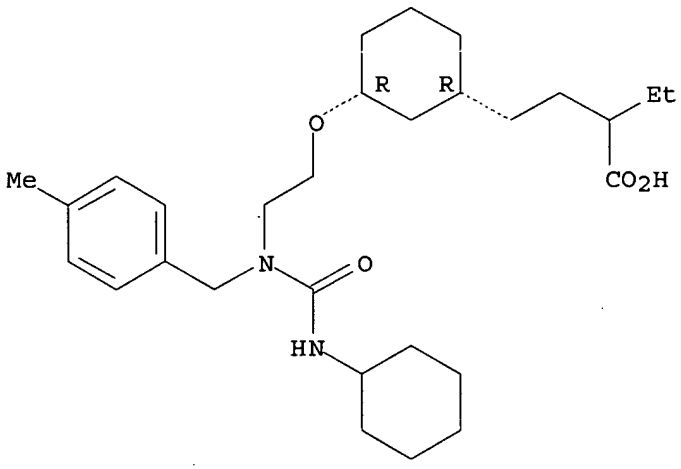
AB Title compds. I [A = (un)substituted cycloalkandiyl (sic) ring, cycloalkendiyl (sic) ring; R1, R2 = H, alkyl, cycloalkyl, etc.; R3 = (un)substituted cycloalkyl, alkyl; R4 = alkyl; R5 = H, alkyl; R6 = H; X = alkandiyl (sic) with provisos; Y1 = CO; Y2 = NH, alkandiyl with provisos] and their pharmaceutically acceptable salts were prepared For example, TFA mediated deprotection of t-Bu ester II (Z = t-butyl), e.g., prepared from 3-allylcyclohexanone in 9-steps, afforded acid II (Z = H). In PPAR-α receptor binding assays, 7-examples of compds. I exhibited EC50 values ranging from 0.38-74 nM, e.g., the EC50 value of acid II (Z = H) was 1.1 nM. Compds. I are claimed useful for the treatment of type II diabetes.

IT **754234-67-8P 754234-69-0P 754234-71-4P**
754234-73-6P 754234-75-8P 754234-77-0P
754234-79-2P 754234-82-7P 754234-84-9P
754234-85-0P 754234-87-2P 754234-89-4P

754234-91-8P 754234-93-0P 754235-75-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cis-hydroxycyclohexanebutanoic acids as PPAR agonists for the treatment of type II diabetes)

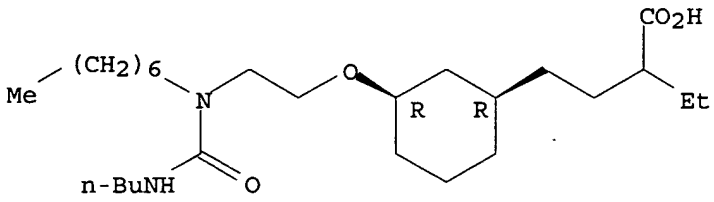
RN 754234-67-8 CAPLUS
CN Cyclohexanebutanoic acid, 3-[2-[[(cyclohexylamino)carbonyl][(4-methylphenyl)methyl]amino]ethoxy]-α-ethyl-, (1R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



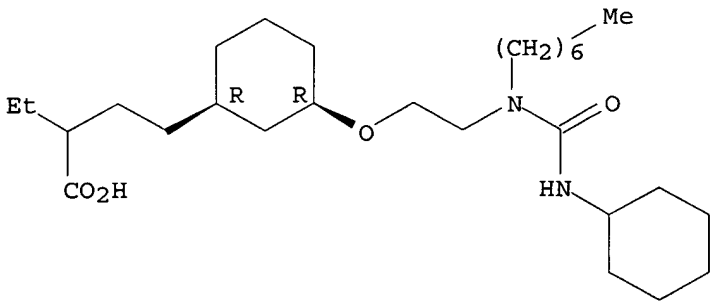
RN 754234-69-0 CAPLUS
CN Cyclohexanebutanoic acid, 3-[2-[[(butylamino)carbonyl]heptylamino]ethoxy]-α-ethyl-, (1R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



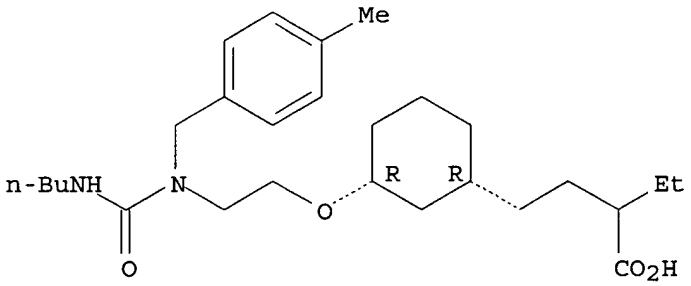
RN 754234-71-4 CAPLUS
CN Cyclohexanebutanoic acid, 3-[2-[[(cyclohexylamino)carbonyl]heptylamino]ethoxy]-α-ethyl-, (1R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



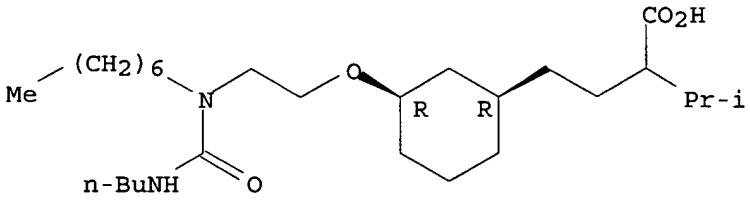
RN 754234-73-6 CAPLUS
CN Cyclohexanebutanoic acid, 3-[2-[[(butylamino)carbonyl][(4-methylphenyl)methyl]amino]ethoxy]-α-ethyl-, (1R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



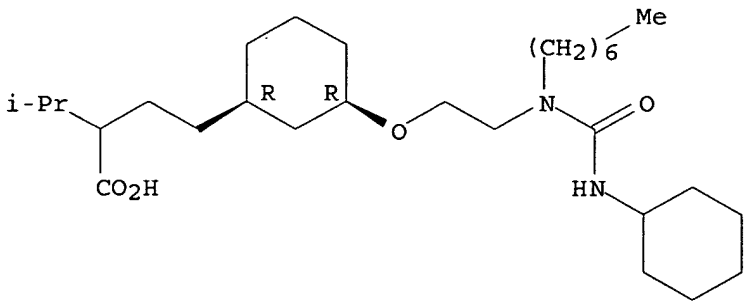
RN 754234-75-8 CAPLUS
CN Cyclohexanebutanoic acid, 3-[2-[[(butylamino)carbonyl]heptylamino]ethoxy]-α-(1-methylethyl)-, (1R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



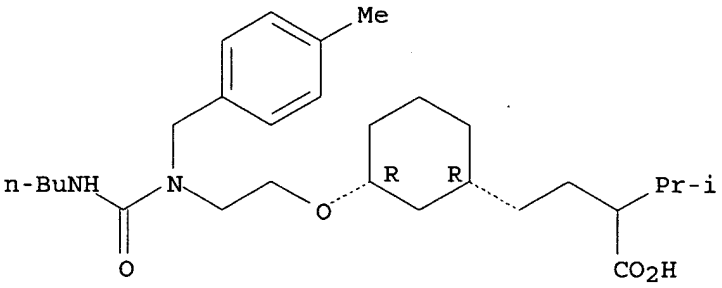
RN 754234-77-0 CAPLUS
CN Cyclohexanebutanoic acid, 3-[2-[[(cyclohexylamino)carbonyl]heptylamino]ethoxy]-α-(1-methylethyl)-, (1R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



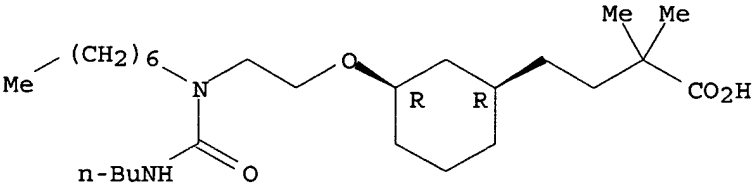
RN 754234-79-2 CAPLUS
CN Cyclohexanebutanoic acid, 3-[2-[[(butylamino)carbonyl][(4-methylphenyl)methyl]amino]ethoxy]-α-(1-methylethyl)-, (1R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



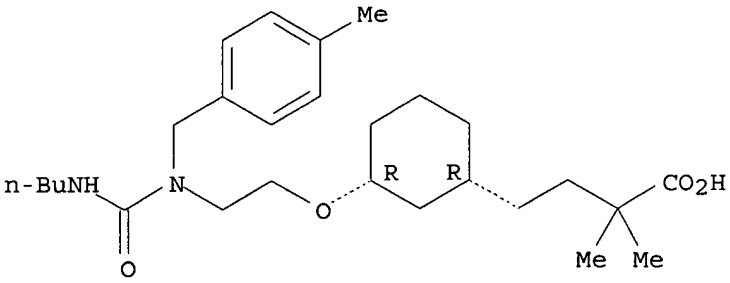
RN 754234-82-7 CAPLUS
CN Cyclohexanebutanoic acid, 3-[2-[[(butylamino)carbonyl]heptylamino]ethoxy]-α,α-dimethyl-, (1R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



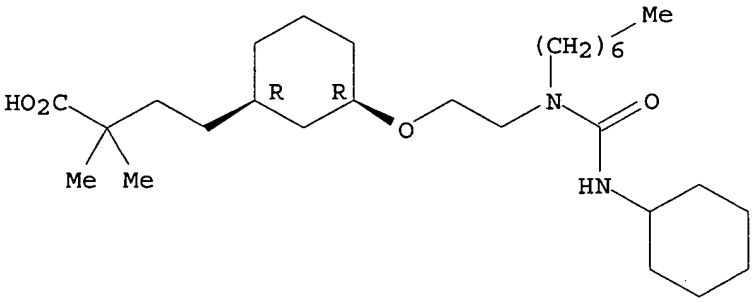
RN 754234-84-9 CAPLUS
CN Cyclohexanebutanoic acid, 3-[2-[[(butylamino)carbonyl][(4-methylphenyl)methyl]amino]ethoxy]-α,α-dimethyl-, (1R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



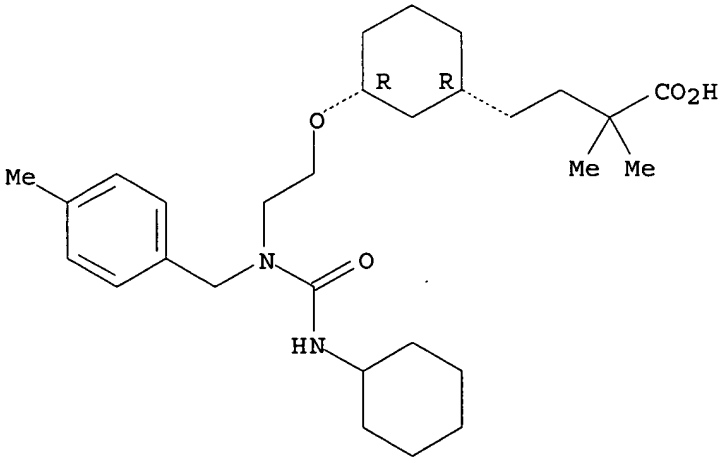
RN 754234-85-0 CAPLUS
CN Cyclohexanebutanoic acid, 3-[2-[[(cyclohexylamino)carbonyl]heptylamino]ethoxy]-α,α-dimethyl-, (1R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



RN 754234-87-2 CAPLUS
CN Cyclohexanebutanoic acid, 3-[2-[[(cyclohexylamino)carbonyl][(4-methylphenyl)methyl]amino]ethoxy]-α,α-dimethyl-, (1R,3R)-rel- (9CI) (CA INDEX NAME)

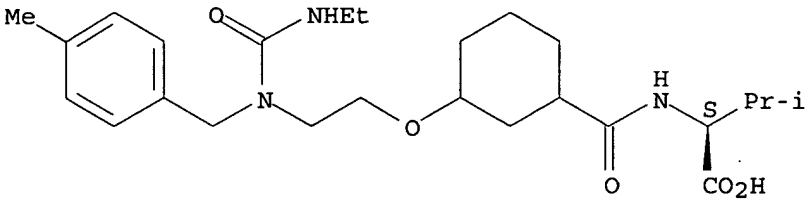
Relative stereochemistry.



RN 754234-89-4 CAPLUS
CN L-Valine, N-[[3-[2-[[(ethylamino)carbonyl][(4-methylphenyl)methyl]amino]ethoxy]cyclohexyl]carbonyl]- (9CI) (CA INDEX

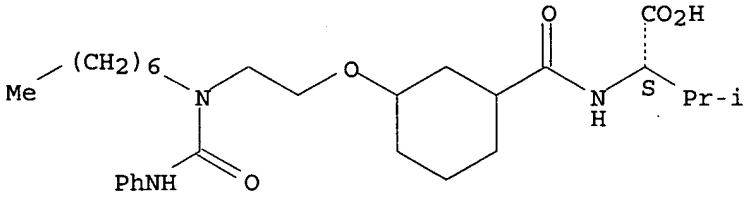
NAME)

Absolute stereochemistry.



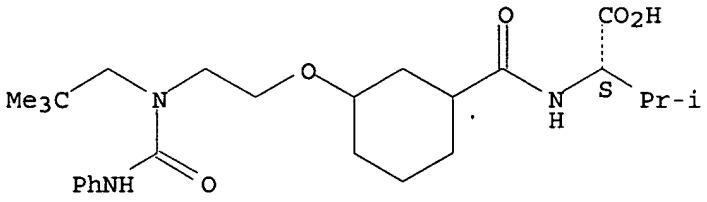
RN 754234-91-8 CAPLUS
CN L-Valine, N-[[3-[2-[heptyl[(phenylamino)carbonyl]amino]ethoxy]cyclohexyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



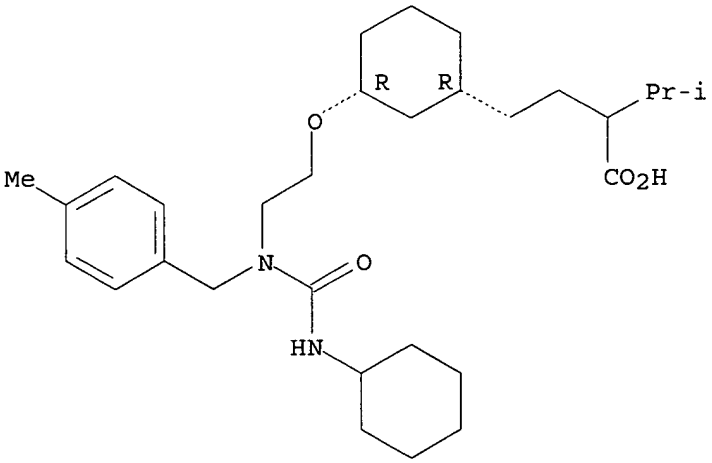
RN 754234-93-0 CAPLUS
CN L-Valine, N-[[3-[2-[(2,2-dimethylpropyl)[(phenylamino)carbonyl]amino]ethoxy]cyclohexyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 754235-75-1 CAPLUS
CN Cyclohexanebutanoic acid, 3-[2-[[(cyclohexylamino)carbonyl][(4-methylphenyl)methyl]amino]ethoxy]-α-(1-methylethyl)-, (1R,3R)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.

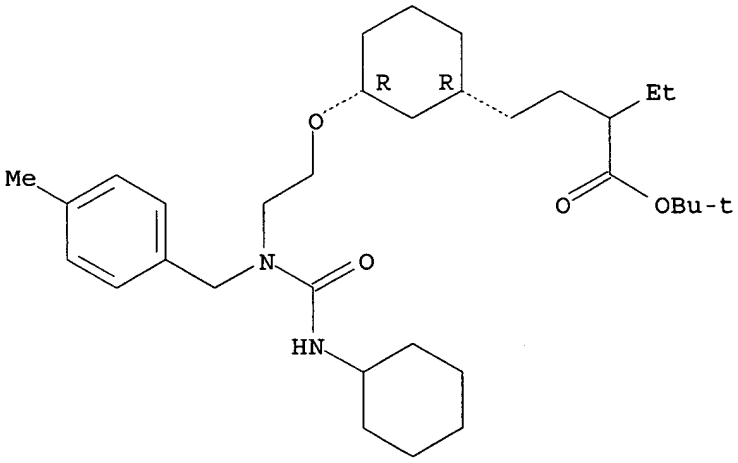


IT **754235-07-9P 754235-21-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of cis-hydroxycyclohexanebutanoic acids as PPAR agonists for the treatment of type II diabetes)

RN 754235-07-9 CAPLUS

CN Cyclohexanebutanoic acid, 3-[2-[[(cyclohexylamino)carbonyl][(4-methylphenyl)methyl]amino]ethoxy]-α-ethyl-, 1,1-dimethylethyl ester, (1R,3R)-rel- (9CI) (CA INDEX NAME)

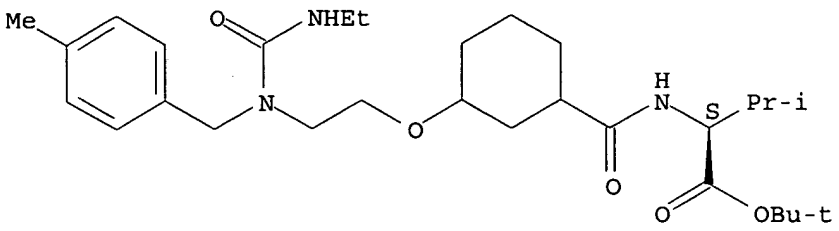
Relative stereochemistry.



RN 754235-21-7 CAPLUS

CN L-Valine, N-[[3-[2-[[(ethylamino)carbonyl][(4-methylphenyl)methyl]amino]ethoxy]cyclohexyl]carbonyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L70 ANSWER 15 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 15
ACCESSION NUMBER: 2004:738380 CAPLUS
DOCUMENT NUMBER: 141:260401
TITLE: Preparation of cis-3-(benzyloxy)cyclohexanols as PPAR agonists for the treatment of type II diabetes
INVENTOR(S): **Stapper, Christian; Glombik, Heiner ; Falk, Eugen; Goerlitzer, Jochen; Gretzke, Dirk; Keil, Stefanie; Schaefer, Hans-Ludwig; Wendler, Wolfgang**
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
SOURCE: Ger. Offen., 42 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10308352	A1	20040909	DE 2003-10308352	20030227
AU 2004215675	A1	20040910	AU 2004-215675	20040219
CA 2516626	AA	20040910	CA 2004-2516626	20040219
WO 2004076402	A1	20040910	WO 2004-EP1583	20040219
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI				
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1601643	A1	20051207	EP 2004-712515	20040219
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2004007858	A	20060301	BR 2004-7858	20040219
CN 1753866	A	20060329	CN 2004-80005477	20040219
JP 2006519196	T2	20060824	JP 2006-501889	20040219
US 2004209873	A1	20041021	US 2004-789323	20040227
NO 2005004380	A	20050921	NO 2005-4380	20050921

PRIORITY APPLN. INFO.:	DE 2003-10308352	A	20030227
	US 2003-487575P	P	20030715
	WO 2004-EP1583	A	20040219

OTHER SOURCE(S): MARPAT 141:260401
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

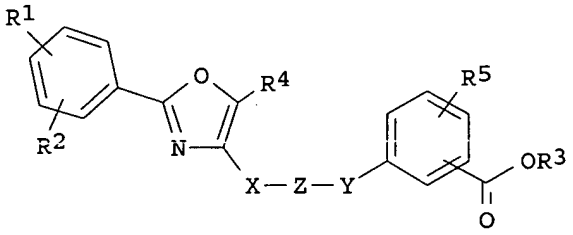
AB Title compds. I [A = (un)substituted cycloalkandiyl (sic) ring, cycloalkendiyl (sic) ring; R = NR1R2, OR1, aryl, etc.; R1, R2 = H, alkyl, cycloalkyl, etc.; R3 = (un)substituted cycloalkyl, alkyl; R4 = H; R5 = alkyl; X = alkandiyl (sic) with provisos; Y = alkandiyl with provisos] and their pharmaceutically acceptable salts were prepared For example, condensation of phenylisocyanate and amine II, e.g., prepared from 1,3-cyclohexandiol in 5-steps, afforded benzoic acid III. In PPAR-α receptor binding assays, 12-examples of compds. I exhibited EC50 values ranging from 0.07-96 nM, e.g., the EC50 value of benzoic acid III was 1.9 nM. Compds. I are claimed useful for the treatment of type II diabetes.
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L70 ANSWER 16 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 16
ACCESSION NUMBER: 2003:202470 CAPLUS
DOCUMENT NUMBER: 138:238169
TITLE: Method for producing diaryl cycloalkyl derivatives of oxazole and the use thereof as PPAR activators
INVENTOR(S): **Glombik, Heiner**; **Falk, Eugen**; Frick, Wendelin; **Keil, Stefanie**; **Schaefer, Hans-Ludwing**; Schwink, Lothar; **Wendler, Wolfgang**
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

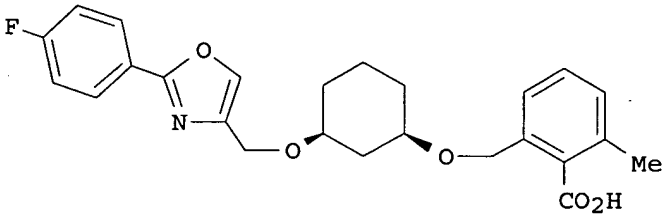
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003020269	A1	20030313	WO 2002-EP9221	20020817
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10142734	A1	20030327	DE 2001-10142734	20010831
DE 10223273	A1	20031204	DE 2002-10223273	20020524
CA 2458210	AA	20030313	CA 2002-2458210	20020817
AU 2002333456	A2	20030318	AU 2002-333456	20020817
EE 200400059	A	20040415	EE 2004-59	20020817
EP 1425014	A1	20040609	EP 2002-797589	20020817
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
BR 2002012158	A	20040713	BR 2002-12158	20020817
CN 1549713	A	20041124	CN 2002-817085	20020817
JP 2005525294	T2	20050825	JP 2003-524576	20020817
NZ 531440	A	20051028	NZ 2002-531440	20020817

ZA 2004001073	A	20040826	ZA 2004-1073		20040210
NO 2004000811	A	20040519	NO 2004-811		20040224
BG 108598	A	20050331	BG 2004-108598		20040224
PRIORITY APPLN. INFO.:			DE 2001-10142734	A	20010831
			DE 2002-10223273	A	20020524
			WO 2002-EP9221	W	20020817

OTHER SOURCE(S): MARPAT 138:238169
GI



I



II

AB The invention relates to diaryl cycloalkyl derivs. and their physiol. compatible salts and physiol. functional derivs. The invention also relates to oxazoles I [Z = C3-8-alkyl, C3-8-alkenyl (rings may contain 1 or more oxygens); R1, R2, R4, R5 = H, F, Cl, Br, OH, NO2, CF3, OCF3, C1-6-alkyl, O-(C1-6-alkyl); R3 = H, C1-6-alkyl; X, Y = C1-6-alkyl (chains may contain 1 or more oxygens)] to their physiol. compatible salts and to a method for producing the same. Thus, (+)-cis-oxazole II was prepared from cyclohexane-1,3-diol via O-alkylation with 4-(Iodomethyl)-2-(4-fluorophenyl)oxazole, separation of cis/trans isomers, HPLC resolution of the cis isomers, and finally alkylation of the (-)-cis isomer with Me 2-(bromomethyl)-6-methylbenzoate. The compds. have lipid and/or triglyceride reducing properties and are suitable e.g. for treating lipid metabolic disorders, type II diabetes and syndrome X. The bioactivity of II was determined [EC50 = 0.3 nM vs. PPARα].

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L70 ANSWER 17 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:681037 CAPLUS
DOCUMENT NUMBER: 145:145534
TITLE: Preparation of sulfonyl pyrrolidines, and their use for increasing blood high-density lipoprotein level for treating dyslipidemia, diabetes and related diseases
INVENTOR(S): **Keil, Stefanie; Schaefer,**

Hans-Ludwig; Glien, Maike; Guessregen, Stefan; **Wendler, Wolfgang**; Esswein, Marion
PATENT ASSIGNEE(S): Sanofi-Aventis Deutschland GmbH, Germany
SOURCE: PCT Int. Appl., 169 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006072393	A2	20060713	WO 2005-EP13772	20051221

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: DE 2005-102005000666A 20050104
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention is related to substituted sulfonyl pyrrolidines, including compds. of formula I [R1 = fluoro/alkyl, (un)substituted Ph, heterocyclyl, etc.; R2 = alkyl, (un)substituted Ph, heterocyclyl, etc.; R3-R5 = independently H, F, Cl, Br, NO2, alkyl, Ph, etc.; with the exclusion of certain compds.], and their physiol. acceptable salts, and their use as drugs for increasing blood HDL level. E.g., a multi-step synthesis starting from 4-methylbenzaldehyde, was given for pyrrolidine trans-II•TFA. I increased ATP-binding cassette protein A1 (ABCA1) expression and thereby increased production of cholesterol in blood HDL. I are useful for treating dyslipidemia, coronary circulation diseases, arteriosclerosis, diabetes, and metabolic syndrome.

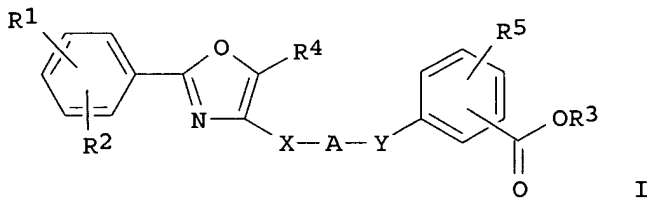
L70 ANSWER 18 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2005:1262021 CAPLUS
DOCUMENT NUMBER: 144:22913
TITLE: Preparation of arylcycloalkyl oxazole derivatives and their use as pharmaceuticals
INVENTOR(S): **Glombik, Heiner**; **Falk, Eugen**; Frick, Wendelin; **Keil, Stefanie**; Schafer, Hans-Ludwig; Schwink, Lothar; **Wendler, Wolfgang**
PATENT ASSIGNEE(S): Germany
SOURCE: U.S. Pat. Appl. Publ., 43 pp., Cont.-in-part of U.S. Ser. No. 631,867.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

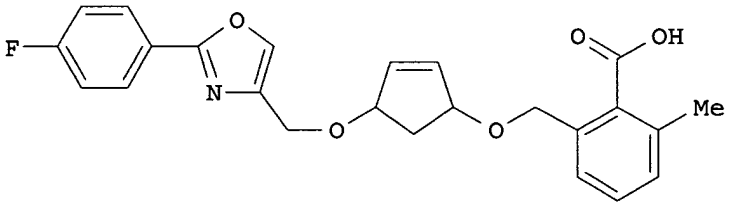
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2005267177	A1	20051201	US 2005-97345	20050404
DE 10142734	A1	20030327	DE 2001-10142734	20010831
DE 10223273	A1	20031204	DE 2002-10223273	20020524
US 2003144332	A1	20030731	US 2002-231432	20020830
US 6624185	B2	20030923		
US 2004122069	A1	20040624	US 2003-631867	20030801
US 6884812	B2	20050426		
ZA 2004001073	A	20040826	ZA 2004-1073	20040210

PRIORITY APPLN. INFO.:
DE 2001-10142734 A 20010831
DE 2002-10223273 A 20020524
US 2002-231432 A2 20020830
US 2003-631867 A2 20030801

OTHER SOURCE(S): MARPAT 144:22913
GI



I



II

AB The title compds. I [ring A = (C3-C8)-cycloalkyl; R1, R2, R4, R5 = H, F, Cl, Br, OH, NO2, cyano, CF3, OCF3, (C1-C6)-alkyl, O(C1-C6)-alkyl; R3 = H, (C1-C6)-alkyl; X, Y = (C1-C2)-alkyl where one C atom is replaced by O] and their physiol. acceptable salts and physiol. functional derivs. are disclosed. For example, reacting cyclopent-2-ene-1,4-diol with Me 2-(bromomethyl)-6-methylbenzoate followed by hydrolysis of the ester gave benzoic acid II. The compds. typically have lipid- and/or triglyceride-lowering properties and are suitable, for example, for the treatment of disorders of lipid metabolism, of type II diabetes, and of syndrome X.

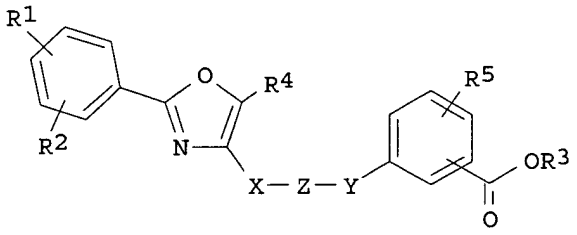
L70 ANSWER 19 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2004:513338 CAPLUS
DOCUMENT NUMBER: 141:71532
TITLE: Method for producing diaryl cycloalkyl derivatives of oxazole and the use thereof as PPAR activators
INVENTOR(S): **Glombik, Heiner**; **Falk, Eugen**; Frick, Wendelin; **Keil, Stefanie**; Schafer, Hans-Ludwig; Schwink, Lothar; **Wendler, Wolfgang**
PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany

SOURCE: U.S. Pat. Appl. Publ., 38 pp., Cont.-in-part of U.S. Ser. No. 231,432.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

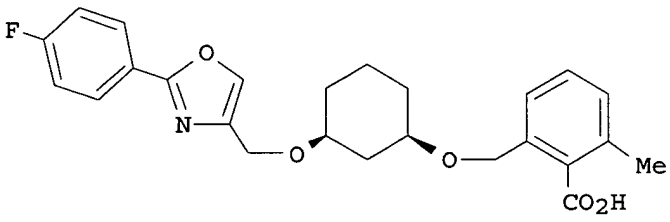
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004122069	A1	20040624	US 2003-631867	20030801
US 6884812	B2	20050426		
DE 10142734	A1	20030327	DE 2001-10142734	20010831
DE 10223273	A1	20031204	DE 2002-10223273	20020524
US 2003144332	A1	20030731	US 2002-231432	20020830
US 6624185	B2	20030923		
ZA 2004001073	A	20040826	ZA 2004-1073	20040210
US 2005267177	A1	20051201	US 2005-97345	20050404

PRIORITY APPLN. INFO.:
DE 2001-10142734 A 20010831
DE 2002-10223273 A 20020524
US 2002-231432 A2 20020830
US 2003-631867 A2 20030801

OTHER SOURCE(S): MARPAT 141:71532
GI



I



II

AB Title oxazoles I [Z = cycloalkyl; R1, R2, R4, R5 = H, F, Cl, Br, etc.; R3 = H, Me; X, Y = alkyl (chains may contain 1 or more oxygens)] are prepared Thus, (+)-cis-oxazole II was prepared from cyclohexane-1,3-diol via O-alkylation with 4-(Iodomethyl)-2-(4-fluorophenyl)oxazole, separation of cis/trans isomers, HPLC resolution of the cis isomers, and finally alkylation of the (-)-cis isomer with Me 2-(bromomethyl)-6-methylbenzoate. The compds. have lipid and/or triglyceride reducing properties and are suitable e.g. for treating lipid metabolic disorders, type II diabetes and syndrome X. The bioactivity of II was determined [EC50 = 0.3 nM vs. PPARα].

REFERENCE COUNT: 65 THERE ARE 65 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L70 ANSWER 20 OF 25 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:161940 CAPLUS
TITLE: Synthesis and SAR of trimeric bile acid reabsorption inhibitors: A new approach to lower cholesterol
AUTHOR(S): **Glombik, H.**; Baringhaus, K. -H.; Boeger, G.; Enhsen, A.; **Falk, E.**; Friedrich, M.; Hoffmann, A.; Kramer, W.; **Schaefer, H. L.**; et al.
CORPORATE SOURCE: HMR TA Metabolism Research, Frankfurt/Main, D-65926, Germany
SOURCE: Book of Abstracts, 213th ACS National Meeting, San Francisco, April 13-17 (1997), MEDI-108. American Chemical Society: Washington, D. C.
CODEN: 64AOAA
DOCUMENT TYPE: Conference; Meeting Abstract
LANGUAGE: English
AB Recent attempts in antiatherosclerotic therapy focus on cholesterol lowering agents with new modes of action. With regard to resins and statins real progress is expected from non absorbable bile acid reabsorption inhibitors (BARI) that block the ileal transporter specific for bile acids. This will lead to increased excretion of bile acids, resynthesis from cholesterol in the liver and thus lowering of blood cholesterol by an indirect and non systemic mechanism. While there is some information available on the size and function of the ileal bile acid transporter, a detailed structure anal. of this transmembrane protein has not been performed. BARI with minimal absorption are designed and synthesized by combining bile acid moieties as recognition units via linkers to trivalent core structures such as Kemp's triacid. Linker chemical had to be developed for this purpose. A directing effect of the core unit is most important for activity at the primary target as tested in cell and animal models.

L70 ANSWER 21 OF 25 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN
ACCESSION NUMBER: 2003:485683 BIOSIS
DOCUMENT NUMBER: PREV200300485683
TITLE: Diarylcycloalkyl derivatives, processes for their preparation and their use as pharmaceuticals.
AUTHOR(S): **Glombik, Heiner** [Inventor, Reprint Author]; **Falk, Eugen** [Inventor]; Frick, Wendelin [Inventor]; **Keil, Stefanie** [Inventor]; Schafer, Hans-Ludwig [Inventor]; Schwink, Lothar [Inventor]; **Wendler, Wolfgang** [Inventor]
CORPORATE SOURCE: Hofheim, Germany
ASSIGNEE: Aventis Pharma Deutschland GmbH, Frankfurt am Main, Germany
PATENT INFORMATION: US 6624185 20030923
SOURCE: Official Gazette of the United States Patent and Trademark Office Patents, (Sep 23 2003) Vol. 1274, No. 4. http://www.uspto.gov/web/menu/patdata.html. e-file.
ISSN: 0098-1133 (ISSN print).
DOCUMENT TYPE: Patent
LANGUAGE: English
ENTRY DATE: Entered STN: 15 Oct 2003

Last Updated on STN: 15 Oct 2003
ABSTRACT:Diarylcycloalkyl derivatives and their physiologically acceptable salts and physiologically functional derivatives are disclosed. The compounds include those of formula I, ##STR1## in which the radicals are as defined, and their physiologically acceptable salts and processes for their preparation. The compounds typically have lipid- and/or triglyceride-lowering properties and are suitable, for example, for the treatment of disorders of lipid metabolism, of type II diabetes, and of syndrome X.
NAT. PATENT. CLASSIF.:514374000
CONCEPT CODE: Pathology - Therapy 12512
Metabolism - Metabolic disorders 13020
Cardiovascular system - Heart pathology 14506
Endocrine - Pancreas 17008
Pharmacology - General 22002
Pharmacology - Drug metabolism and metabolic stimulators 22003
Pharmacology - Cardiovascular system 22010
INDEX TERMS: Major Concepts
Pharmacology
INDEX TERMS: Diseases
lipid metabolism disorders: metabolic disease, drug therapy
INDEX TERMS: Diseases
syndrome X: heart disease, drug therapy
Syndrome X (MeSH)
INDEX TERMS: Diseases
type 2 diabetes: endocrine disease/pancreas, metabolic disease, drug therapy
Diabetes Mellitus, Non-Insulin-Dependent (MeSH)
INDEX TERMS: Chemicals & Biochemicals
diarylcycloalkyl derivatives: cardiovascular-drug, metabolic-drug, lipid-lowering properties, triglyceride-lowering properties

L70 ANSWER 22 OF 25 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN
ACCESSION NUMBER: 2001:81120 BIOSIS
DOCUMENT NUMBER: PREV200100081120
TITLE: Identification of binding proteins for cholesterol absorption inhibitors as components of the intestinal cholesterol transporter.
AUTHOR(S): Kramer, Werner [Reprint author]; **Glombik, Heiner**; Petry, Stephan; Heuer, Hubert; **Schaefer, Hans-Ludwig**; **Wendler, Wolfgang**; Corsiero, Daniel; Girbig, Frank; Weyland, Claudia
CORPORATE SOURCE: Disease Group Metabolic Diseases, Aventis Pharma Deutschland GmbH, D-65926, Frankfurt am Main, Germany
werner.kramer@aventis.com
SOURCE: FEBS Letters, (29 December, 2000) Vol. 487, No. 2, pp. 293-297. print.
CODEN: FEBLAL. ISSN: 0014-5793.
DOCUMENT TYPE: Article
LANGUAGE: English
ENTRY DATE: Entered STN: 14 Feb 2001
Last Updated on STN: 12 Feb 2002
ABSTRACT:To identify protein components of the intestinal cholesterol transporter, rabbit small intestinal brush border membrane vesicles were submitted to photoaffinity labeling using photoreactive derivatives of 2-azetidinone cholesterol absorption inhibitors. An integral membrane protein of Mr 145.3 +- 7.5 kDa was specifically labeled in brush border membrane

vesicles from rabbit jejunum and ileum. Its labeling was concentration-dependently inhibited by the presence of cholesterol absorption inhibitors whereas bile acids, D-glucose, fatty acids or cephalexin had no effect. The inhibitory potency of 2-azetidinones to inhibit photolabeling of the 145 kDa protein correlated with their in vivo activity to inhibit intestinal cholesterol absorption. These results suggest that an integral membrane protein of Mr 145 kDa is (a component of) the cholesterol absorption system in the brush border membrane of small intestinal enterocytes.

CONCEPT CODE: Biochemistry studies - General 10060
Biochemistry studies - Sterols and steroids 10067
Digestive system - Physiology and biochemistry 14004

INDEX TERMS: Major Concepts
Biochemistry and Molecular Biophysics; Digestive System (Ingestion and Assimilation)

INDEX TERMS: Parts, Structures, & Systems of Organisms
small intestinal brush border membrane

INDEX TERMS: Chemicals & Biochemicals
2-azetidinone; cholesterol: intestinal absorption; cholesterol absorption inhibitors; intestinal cholesterol transporter

INDEX TERMS: Methods & Equipment
photoaffinity labeling: detection method

ORGANISM: Classifier
Leporidae 86040
Super Taxa
Lagomorpha; Mammalia; Vertebrata; Chordata; Animalia
Organism Name
rabbit
Taxa Notes
Animals, Chordates, Lagomorphs, Mammals, Nonhuman Vertebrates, Nonhuman Mammals, Vertebrates

REGISTRY NUMBER: 930-21-2 (2-azetidinone)
57-88-5 (cholesterol)

L70 ANSWER 23 OF 25 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN

ACCESSION NUMBER: 1997:197782 BIOSIS
DOCUMENT NUMBER: PREV199799496985
TITLE: Synthesis and sar of trimeric bile acid reabsorption inhibitors: A new approach to lower cholesterol.
AUTHOR(S): **Glombik, H.**; Baringhaus, K.-H.; Boeger, G.; Enhsen, A.; **Falk, E.**; Friedrich, M.; Hoffmann, A.; Kramer, W.; **Schaefer, H. L.**; Stengelin, S.; Wess, G.
CORPORATE SOURCE: HMR TA Metabolism Research D-65926 Frankfurt, Germany
SOURCE: Abstracts of Papers American Chemical Society, (1997) Vol. 213, No. 1-3, pp. MEDI 108.
Meeting Info.: 213th National Meeting of the American Chemical Society. San Francisco, California, USA. April 13-17, 1997.
CODEN: ACSRAL. ISSN: 0065-7727.
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
LANGUAGE: English
ENTRY DATE: Entered STN: 2 May 1997
Last Updated on STN: 2 May 1997
CONCEPT CODE: General biology - Symposia, transactions and proceedings 00520
Biochemistry studies - General 10060
Metabolism - Sterols and steroids 13008

Pharmacology - Drug metabolism and metabolic stimulators 22003
INDEX TERMS: Major Concepts
Biochemistry and Molecular Biophysics; Metabolism; Pharmacology
INDEX TERMS: Chemicals & Biochemicals
CHOLESTEROL
INDEX TERMS: Miscellaneous Descriptors
CHEMISTRY; METABOLISM; NEW APPROACH TO LOWER CHOLESTEROL; PHARMACOLOGY; STRUCTURE ACTIVITY RELATIONSHIP; SYNTHESIS; TRIMERIC BILE ACID REABSORPTION INHIBITORS
ORGANISM: Classifier
Animalia 33000
Super Taxa
Animalia
Organism Name
animal
Animalia
Taxa Notes
Animals
REGISTRY NUMBER: 57-88-5 (CHOLESTEROL)

L70 ANSWER 24 OF 25 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN
ACCESSION NUMBER: 1996:44690 BIOSIS
DOCUMENT NUMBER: PREV199698616825
TITLE: The ileal bile acid transporter: Molecular structure and specific inhibitors.
AUTHOR(S): Kramer, W. [Reprint author]; Wess, G.; Baringhaus, K.-H.; Boeger, G.; Enhsen, A.; **Falk, E.**; Friedrich, M.; **Glombik, H.**; Hoffmann, A.; Neckermann, G.; Pittius, C.; **Schaefer, H.-L.**; Urmann, M.
CORPORATE SOURCE: Hoechst Aktiengesellschaft, D-65926 Frankfurt am Main, Germany
SOURCE: Biological Chemistry Hoppe-Seyler, (1995) Vol. 376, No. SPEC. SUPPL., pp. S70.
Meeting Info.: 120th Conference of the Gesellschaft fuer Biologische Chemie: Cell Biology and Molecular Basis of Liver Transport. Rottach-Egern, Germany. May 10-13, 1995.
CODEN: BCHSEI. ISSN: 0177-3593.
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
LANGUAGE: English
ENTRY DATE: Entered STN: 2 Feb 1996
Last Updated on STN: 3 Feb 1996
CONCEPT CODE: General biology - Symposia, transactions and proceedings 00520
Cytology - Animal 02506
Biochemistry studies - Sterols and steroids 10067
Biophysics - Membrane phenomena 10508
Metabolism - Lipids 13006
Metabolism - Sterols and steroids 13008
Metabolism - Metabolic disorders 13020
Digestive system - Physiology and biochemistry 14004
INDEX TERMS: Major Concepts
Biochemistry and Molecular Biophysics; Cell Biology; Digestive System (Ingestion and Assimilation); Membranes (Cell Biology); Metabolism
INDEX TERMS: Chemicals & Biochemicals

CHOLESTEROL
INDEX TERMS: Miscellaneous Descriptors
BRUSH BORDER MEMBRANE; CHOLESTEROL HOMEOSTASIS; HYPERCHOLESTEROLEMIA; LIVER; MEETING ABSTRACT
ORGANISM: Classifier
Animalia 33000
Super Taxa
Animalia
Organism Name
animal
Animalia
Taxa Notes
Animals
REGISTRY NUMBER: 57-88-5 (CHOLESTEROL)

L70 ANSWER 25 OF 25 BIOSIS COPYRIGHT (c) 2006 The Thomson Corporation on STN
ACCESSION NUMBER: 1996:44688 BIOSIS
DOCUMENT NUMBER: PREV199698616823
TITLE: Bile acid transport systems for drug delivery.
AUTHOR(S): Kramer, W. [Reprint author]; Wess, G.; Baringhaus, K.-H.; Boeger, G.; Enhsen, A.; **Falk, E.**; Friedrich, M.; **Glombik, H.**; Hoffmann, A.; Neckermann, G.; **Schaefer, H.-L.**; Stengelin, S.; Urmann, M.
CORPORATE SOURCE: Hoechst Aktiengesellschaft, D-65926 Frankfurt am Main, Germany
SOURCE: Biological Chemistry Hoppe-Seyler, (1995) Vol. 376, No. SPEC. SUPPL., pp. S70.
Meeting Info.: 120th Conference of the Gesellschaft fuer Biologische Chemie: Cell Biology and Molecular Basis of Liver Transport. Rottach-Egern, Germany. May 10-13, 1995.
CODEN: BCHSEI. ISSN: 0177-3593.
DOCUMENT TYPE: Conference; (Meeting)
Conference; Abstract; (Meeting Abstract)
LANGUAGE: English
ENTRY DATE: Entered STN: 2 Feb 1996
Last Updated on STN: 3 Feb 1996
CONCEPT CODE: General biology - Symposia, transactions and proceedings 00520
Biochemistry studies - General 10060
Biochemistry studies - Proteins, peptides and amino acids 10064
Biochemistry studies - Sterols and steroids 10067
Biochemistry studies - Minerals 10069
Biophysics - Membrane phenomena 10508
Metabolism - Sterols and steroids 13008
Metabolism - Proteins, peptides and amino acids 13012
Digestive system - Physiology and biochemistry 14004
Pharmacology - General 22002
INDEX TERMS: Major Concepts
Biochemistry and Molecular Biophysics; Digestive System (Ingestion and Assimilation); Membranes (Cell Biology); Metabolism; Pharmacology
INDEX TERMS: Chemicals & Biochemicals
SODIUM
INDEX TERMS: Miscellaneous Descriptors
HEPATOCYTE; ILEOCYTE; MEETING ABSTRACT; PEPTIDE; PLASMA MEMBRANE PERMEABILITY; SODIUM-DEPENDENT TRANSPORT
ORGANISM: Classifier
Animalia 33000

Super Taxa
Animalia
Organism Name
animal
Animalia
Taxa Notes
Animals
REGISTRY NUMBER: 7440-23-5 (SODIUM)

=> □

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FILE LAST UPDATED: 11 Sep 2006 (20060911/ED)

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=> d stat que L12

L1 STR

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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.
L3          291 SEA FILE=REGISTRY SSS FUL L1
L8              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.
L10         235 SEA FILE=REGISTRY SUB=L3 SSS FUL L8
L11          56 SEA FILE=REGISTRY ABB=ON  PLU=ON  L3 NOT L10
L12           8 SEA FILE=CAPLUS ABB=ON  PLU=ON  L11


=> s L12 not L68

L71           7 L12 NOT L68

=> file toxcenter

FILE 'TOXCENTER' ENTERED AT 15:29:55 ON 12 SEP 2006
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FILE COVERS 1907 TO 12 Sep 2006 (20060912/ED)

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features.  See HELP RLOAD for details.

TOXCENTER thesauri in the /CN, /CT, and /MN fields incorporate the
MeSH 2006 vocabulary.
See http://www.nlm.nih.gov/mesh/
    http://www.nlm.nih.gov/pubs/techbull/nd05/nd05_med_data_changes.html
    http://www.nlm.nih.gov/pubs/techbull/nd05/nd05_2006_MeSH.html
for a description of changes.

=> d que nos L24

L1              STR
L3          291 SEA FILE=REGISTRY SSS FUL L1
L8              STR
L10         235 SEA FILE=REGISTRY SUB=L3 SSS FUL L8
L11          56 SEA FILE=REGISTRY ABB=ON  PLU=ON  L3 NOT L10
L24           2 SEA FILE=TOXCENTER ABB=ON  PLU=ON  L11


=> file wpix

FILE 'WPIX' ENTERED AT 15:29:56 ON 12 SEP 2006
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FILE LAST UPDATED:          11 SEP 2006      <20060911/UP>
MOST RECENT DERWENT UPDATE:     200658        <200658/DW>
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=> d stat que L62

L1              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.
L8              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.
L59          29 SEA FILE=WPIX SSS FUL L1
L61          25 SEA FILE=WPIX SSS FUL L8
L62           4 SEA FILE=WPIX ABB=ON  PLU=ON  L59 NOT L61


=> d stat que L66

L1              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.
L8              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.
L59          29 SEA FILE=WPIX SSS FUL L1
L61          25 SEA FILE=WPIX SSS FUL L8
L62           4 SEA FILE=WPIX ABB=ON  PLU=ON  L59 NOT L61
L63           3 SEA FILE=WPIX ABB=ON  PLU=ON  L62/DCR
L64           3 SEA FILE=WPIX ABB=ON  PLU=ON  (RAFEPR/DCN OR RAMQ5G/DCN OR
                RAMQ5H/DCN OR RA7PF9/DCN)
L65           3 SEA FILE=WPIX ABB=ON  PLU=ON  (1306606-0-0-0/DCRE OR 1306607-0-
                0-0/DCRE OR 572327-0-0-0/DCRE OR 957716-0-0-0/DCRE)
L66           3 SEA FILE=WPIX ABB=ON  PLU=ON  (L63 OR L64 OR L65)


=> s L66 not L69

L72           2 L66 NOT L69

=> file beilstein
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FILE COVERS 1771 TO 2006.
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   separate documents and can not be searched together in one query.
   Reaction data for BEILSTEIN compounds may be displayed
   immediately with the display codes PRE (preparations) and REA
   (reactions).  A substance answer set retrieved after the search
   for a chemical name, a compounds with available reaction
   information by combining with PRE/FA, REA/FA or more generally
   with RX/FA. The BEILSTEIN Registry Number (BRN) is the link
   between a BEILSTEIN compound and belonging reactions. For mo
   detailed reaction searches BRNs can be searched as reaction
   partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

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* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS.                        *
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* PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE
  SEARCHED, SELECTED AND TRANSFERRED.
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  ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A
  COMPOUND AT A GLANCE.

=> d stat que L30

L1              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.
L8              STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY -  AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.
L26          40 SEA FILE=BEILSTEIN SSS FUL L1
L27          36 SEA FILE=BEILSTEIN SSS FUL L8
L28           4 SEA FILE=BEILSTEIN ABB=ON  PLU=ON  L26 NOT L27
L29           2 SEA FILE=BEILSTEIN ABB=ON  PLU=ON  L28 AND RN/FA
L30           2 SEA FILE=BEILSTEIN ABB=ON  PLU=ON  L28 NOT L29


=> => dup rem L71 L72 L24 L30
DUPLICATE IS NOT AVAILABLE IN 'BEILSTEIN'.
ANSWERS FROM THESE FILES WILL BE CONSIDERED UNIQUE
FILE 'CAPLUS' ENTERED AT 15:31:13 ON 12 SEP 2006
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PROCESSING COMPLETED FOR L71
PROCESSING COMPLETED FOR L72
PROCESSING COMPLETED FOR L24
PROCESSING COMPLETED FOR L30
L73 9 DUP REM L71 L72 L24 L30 (4 DUPLICATES REMOVED)
ANSWERS '1-7' FROM FILE CAPLUS
ANSWERS '8-9' FROM FILE BEILSTEIN

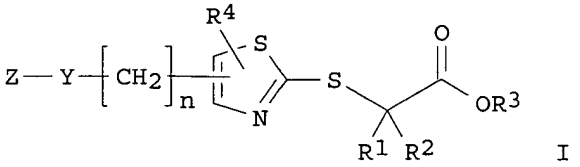
=> d ibib abs hitstr L73 1-7; d ide allref L73 8-9

L73 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 1
ACCESSION NUMBER: 2006:436703 CAPLUS
DOCUMENT NUMBER: 144:468151
TITLE: Preparation of carboxylic acid derivatives containing thiazole moiety as PPARα agonists
INVENTOR(S): Tozawa, Takashi; Tsuruta, Osamu; Kitajima, Hiroshi; Aoki, Yoshiyuki; Ando, Naoko; Tamakawa, Hiroki
PATENT ASSIGNEE(S): Mitsubishi Pharma Corporation, Japan
SOURCE: PCT Int. Appl., 512 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006049232	A1	20060511	WO 2005-JP20262	20051104

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: JP 2004-321347 A 20041104
OTHER SOURCE(S): MARPAT 144:468151
GI



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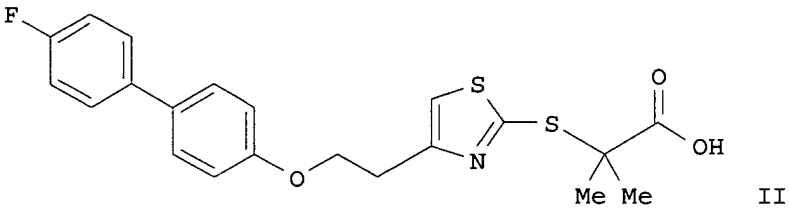


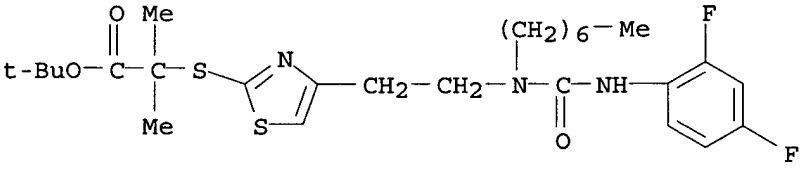
II

AB Title compds. I [R1, R2 = H, alkyl; R1 and R2 may combine to form cycloalkyl; R3 = H, alkyl; R4 = H, alkyl, aryl; n = 1-5; Y = -O-, -S-, -NR5-, etc; R5 = H, alkyl, cycloalylalkyl, etc.; Z = cycloalkyl, aryl, arylalkyl, etc.] and their pharmaceutically acceptable salts were prepared For example, DIAD mediated alkylation of 2-[[4-(2-hydroxyethyl)-1,3-thiazol-2-yl]thio]-2-methylpropionic acid tert-Bu ester, e.g., prepared from 4-chloro-3-oxobutanoic acid Et ester in 4 steps, with 4'-fluorobiphenyl-4-ol followed by treatment with trifluoroacetic acid afforded compound II. In PPARα transcription activation assays, the EC50 value of compound II was 10.4 nmol/L. Compds. I are claimed useful for the treatment of hyperlipidemia, arteriosclerosis, etc.

IT **886531-07-3P 886536-43-2P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of carboxylic acid derivs. containing thiazole moiety as PPARα agonists for treatment of hyperlipidemia, arteriosclerosis, etc.)

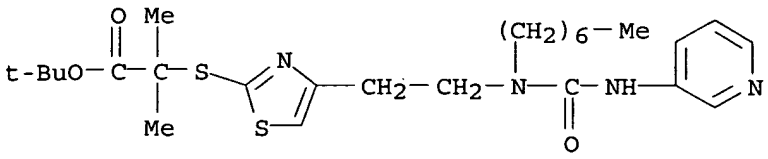
RN 886531-07-3 CAPLUS

CN Propanoic acid, 2-[[4-[2-[[[(2,4-difluorophenyl)amino]carbonyl]heptylamino]ethyl]-2-thiazolyl]thio]-2-methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



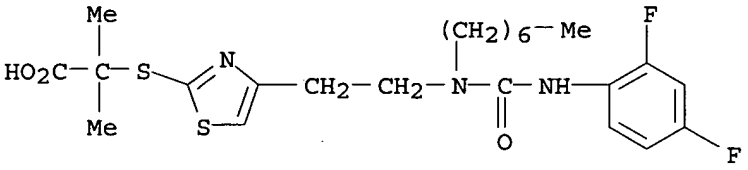
RN 886536-43-2 CAPLUS

CN Propanoic acid, 2-[[4-[2-[heptyl[(3-pyridinylamino)carbonyl]amino]ethyl]-2-thiazolyl]thio]-2-methyl-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

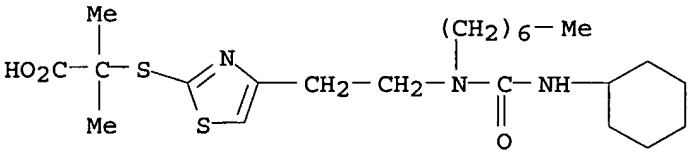


IT **886531-08-4P 886531-09-5P 886531-10-8P**
886531-12-0P 886531-16-4P 886531-17-5P
886531-18-6P 886531-19-7P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of carboxylic acid derivs. containing thiazole moiety as PPARα agonists for treatment of hyperlipidemia, arteriosclerosis, etc.)

RN 886531-08-4 CAPLUS
CN Propanoic acid, 2-[[4-[2-[[[(2,4-difluorophenyl)amino]carbonyl]heptylamino]ethyl]-2-thiazolyl]thio]-2-methyl- (9CI) (CA INDEX NAME)



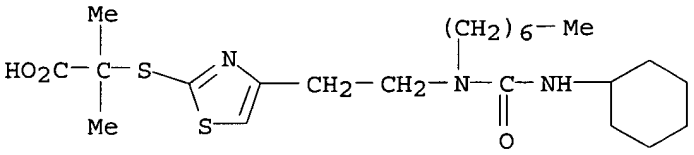
RN 886531-09-5 CAPLUS
CN Propanoic acid, 2-[[4-[2-[[(cyclohexylamino)carbonyl]heptylamino]ethyl]-2-thiazolyl]thio]-2-methyl- (9CI) (CA INDEX NAME)



RN 886531-10-8 CAPLUS
CN Propanoic acid, 2-[[4-[2-[[(cyclohexylamino)carbonyl]heptylamino]ethyl]-2-thiazolyl]thio]-2-methyl-, compd. with N-cyclohexylcyclohexanamine (1:1) (9CI) (CA INDEX NAME)

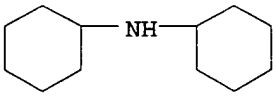
CM 1

CRN 886531-09-5
CMF C23 H39 N3 O3 S2



CM 2

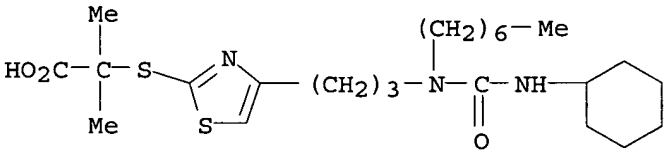
CRN 101-83-7
CMF C12 H23 N



RN 886531-12-0 CAPLUS
CN Propanoic acid, 2-[[4-[3-[[(cyclohexylamino)carbonyl]heptylamino]propyl]-2-thiazolyl]thio]-2-methyl-, compd. with N-cyclohexylcyclohexanamine (1:1) (9CI) (CA INDEX NAME)

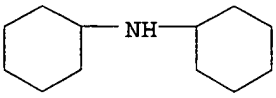
CM 1

CRN 886531-11-9
CMF C24 H41 N3 O3 S2



CM 2

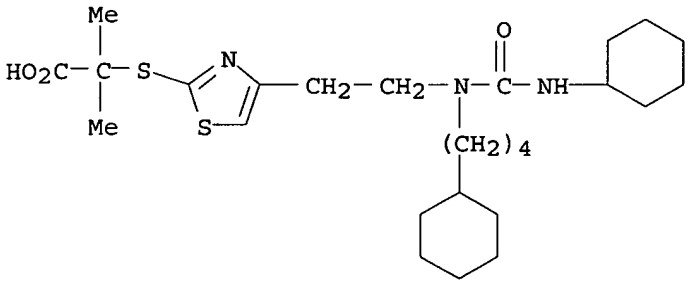
CRN 101-83-7
CMF C12 H23 N



RN 886531-16-4 CAPLUS
CN Propanoic acid, 2-[[4-[2-[[(cyclohexylamino)carbonyl](4-cyclohexylbutyl)amino]ethyl]-2-thiazolyl]thio]-2-methyl-, compd. with N-cyclohexylcyclohexanamine (1:1) (9CI) (CA INDEX NAME)

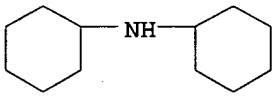
CM 1

CRN 886531-15-3
CMF C26 H43 N3 O3 S2

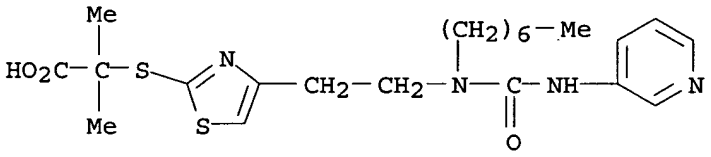


CM 2

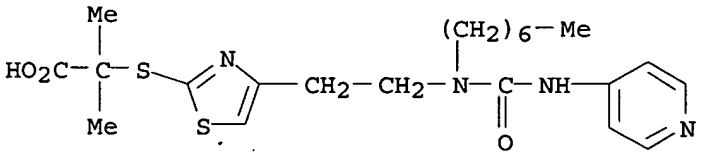
CRN 101-83-7
CMF C12 H23 N



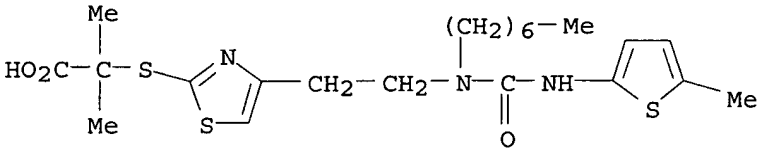
RN 886531-17-5 CAPLUS
CN Propanoic acid, 2-[[4-[2-[heptyl[(3-pyridinylamino)carbonyl]amino]ethyl]-2-thiazolyl]thio]-2-methyl- (9CI) (CA INDEX NAME)



RN 886531-18-6 CAPLUS
CN Propanoic acid, 2-[[4-[2-[heptyl[(4-pyridinylamino)carbonyl]amino]ethyl]-2-thiazolyl]thio]-2-methyl- (9CI) (CA INDEX NAME)



RN 886531-19-7 CAPLUS
CN Propanoic acid, 2-[[4-[2-[heptyl[[(5-methyl-2-thienyl)amino]carbonyl]amino]ethyl]-2-thiazolyl]thio]-2-methyl- (9CI) (CA INDEX NAME)

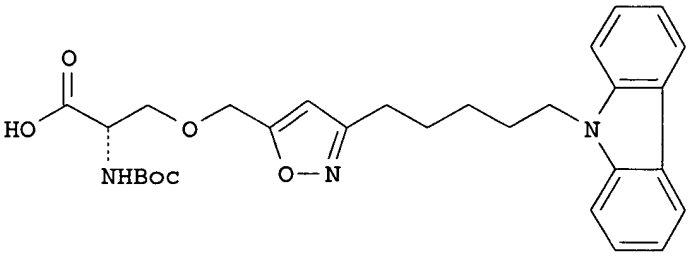


REFERENCE COUNT: 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L73 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 2
ACCESSION NUMBER: 2004:252655 CAPLUS
DOCUMENT NUMBER: 140:287710
TITLE: Ligands for the peroxisome proliferator-activated receptor
INVENTOR(S): Kozikowski, Alan P.; Glazer, Robert I.; Petukhov, Pavel; Wei, Zhi-liang
PATENT ASSIGNEE(S): Georgetown University, USA
SOURCE: PCT Int. Appl., 184 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004024939	A2	20040325	WO 2003-US28931	20030912
WO 2004024939	A3	20041014		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003278814	A1	20040430	AU 2003-278814	20030912
PRIORITY APPLN. INFO.:			US 2002-410677P P	20020913
			WO 2003-US28931 W	20030912

OTHER SOURCE(S): MARPAT 140:287710
GI



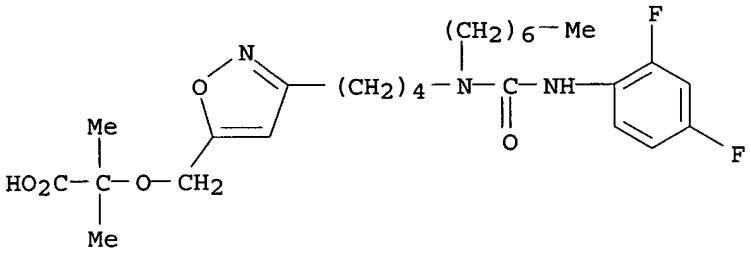
I

AB The invention relates to compds. such as R'O2CCHR(CH2)mC6H4-Ar(Ln-X)-p [m, n = 0-6; Ar is a 5-10 membered aryl or heteroaryl ring containing 1-3 atoms O, S or N (e.g., isoxazolyl or indolyl); R is H, alkyl, aryl, alkoxy, aryloxy, acyl- or sulfonylamino; R' is H, alkyl, aryl, or an alkali metal cation; L is CH2, O, N, or S; X is alkoxy, aryloxy, carbalkoxy, carbazolyl, etc.] that are active at a peroxisome proliferator-activated receptor (PPAR). The compds. are useful for treating cancer or non-insulin-dependent (type II) diabetes and for identifying ligands active at a PPAR subtype using X-ray structural information. Isoxazolylmethyl serine derivative I is an example of the compds. synthesized and for which PPAR isoform selectivity data are tabulated.

IT **675586-05-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of ligands for peroxisome proliferator-activated receptor)

RN 675586-05-7 CAPLUS

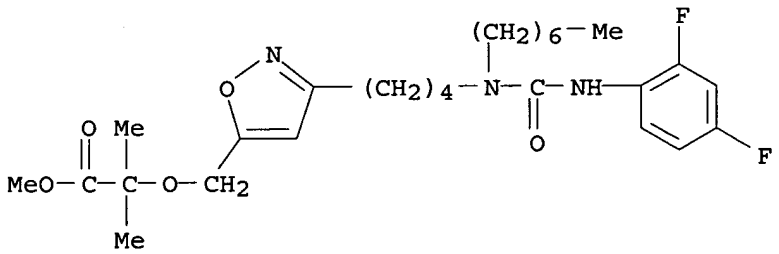
CN Propanoic acid, 2-[[3-[4-[[[(2,4-difluorophenyl)amino]carbonyl]heptylamino]butyl]-5-isoxazolyl]methoxy]-2-methyl- (9CI) (CA INDEX NAME)



IT **675586-04-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of ligands for peroxisome proliferator-activated receptor)

RN 675586-04-6 CAPLUS

CN Propanoic acid, 2-[[3-[4-[[[(2,4-difluorophenyl)amino]carbonyl]heptylamino]butyl]-5-isoxazolyl]methoxy]-2-methyl-, methyl ester (9CI) (CA INDEX NAME)



L73 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN DUPLICATE 3
ACCESSION NUMBER: 2002:449646 CAPLUS
DOCUMENT NUMBER: 137:33211
TITLE: Preparation of N-indolylurea derivatives as peroxisome proliferator activated receptor δ (PPAR δ)

activators

INVENTOR(S): Takahashi, Toshihiro; Sakuma, Shogo; Endo, Tsuyoshi; Tendo, Atsushi; Yoshida, Shinichi; Kobayashi, Kunio; Mochiduki, Nobutaka; Yamakawa, Tomio; Kanda, Takashi; Masui, Seiichiro

PATENT ASSIGNEE(S): Nippon Chemiphar Co., Ltd., Japan

SOURCE: PCT Int. Appl., 81 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

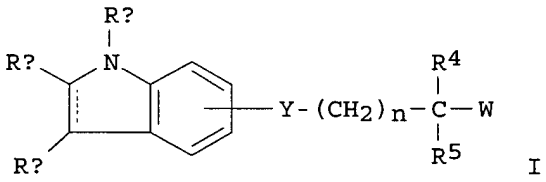
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002046154	A1	20020613	WO 2001-JP10576	20011204
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002024138	A5	20020618	AU 2002-24138	20011204
PRIORITY APPLN. INFO.:			JP 2000-369890 A	20001205
			WO 2001-JP10576 W	20011204

OTHER SOURCE(S): MARPAT 137:33211

GI



I

AB Urea derivs. represented by the general formula (I) or salts thereof [wherein Y = O, S; n = an integer of 0-4; R4, R5 = H, C1-8 alkyl optionally substituted by 1-3 of halogen atoms; W = CO2H, C2-8 alkoxycarbonyl, SO3H, cyano, tetrazolyl; a solid line accompanied by a dotted line represents a single or double bond; one of Ra, Rb, and Rc is R1N(R2)CON(R3)X and the other two groups are H, C1-8 alkyl, C6-10 aryl, C1-8 alkyl-C6-10 aryl; wherein R1, R2, R3 = H, C1-8 alkyl optionally substituted by 1-3 of halogen atoms, C1-8 alkoxy-C1-8 alkyl, C2-8 alkenyl, C2-8 alkynyl, C3-7 cycloalkyl, C3-7 cycloalkyl-C1-8 alkyl, C6-10 aryl, C6-10 aryl-C1-8 alkyl, heterocyclyl, heterocyclyl-C1-8 alkyl; X = C1-8 alkylene, remaining two R8 and R9 are each hydrogen or C1-8 alkyl; aryl, heterocyclyl, or aryl or heterocyclyl of arylalkyl or heterocyclylalkyl group is optionally substituted in Ra, Rb, and Rc] are prepared These compds. are useful as blood sugar-lowering agents, hypolipidemics, antiobesity agents, hypocholesteremics, antiarteriosclerotics, anticancer agents, antiinflammatory agents, etc. Thus, 47 mg 2,4-dichlorophenyl isocyanate was added to a solution of 78 mg 2-[[1-[2-(isobutylamino)ethyl]indol-5-yl]oxy]-2-methylpropionic acid Et ester in EtOAc and stirred at room temperature for 0.5 h to give 83% 2-[[1-[2-(N'-2,4-

dichlorophenyl-N-isobutylamino)ethyl]indol-5-yl]oxy]-2-methylpropionic acid Et ester which (96 mg) was dissolved in ethanol, treated with 1 M aqueous NaOH, stirred at room temperature for 16 h, treated with 0.1 M aqueous HCl under ice-cooling, and stirred at room temperature for 1 h to give 100% 2-[[1-[2-(N'-2,4-dichlorophenyl-N-isobutylureido)ethyl]indol-5-yl]oxy]-2-methylpropionic acid (II). In an assay for activating effect of PPARδ receptor using CV-1 cells transfected with PPARδ receptor-expressing plasmid, luciferase-expressing plasmid, and β-galactosidase-expressing plasmid, II at 10-5 M exhibited 106% activation compared to L-165041.

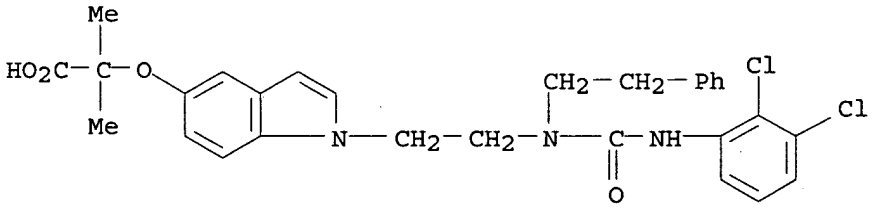
IT **435277-36-4P 435277-37-5P 435277-38-6P 435277-39-7P 435277-40-0P 435277-41-1P 435277-42-2P 435277-43-3P 435277-44-4P 435277-45-5P 435277-46-6P 435277-47-7P 435277-48-8P**

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-indolylurea derivs. as peroxisome proliferator activated receptor δ (PPAR δ) activators for drugs)

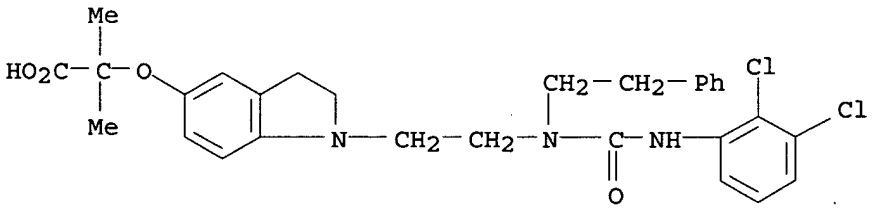
RN 435277-36-4 CAPLUS

CN Propanoic acid, 2-[[1-[2-[[[(2,3-dichlorophenyl)amino]carbonyl](2-phenylethyl)amino]ethyl]-1H-indol-5-yl]oxy]-2-methyl- (9CI) (CA INDEX NAME)



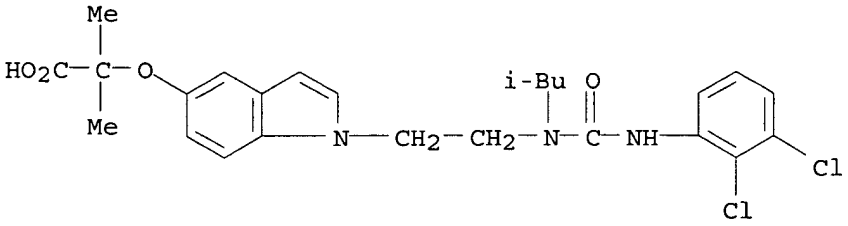
RN 435277-37-5 CAPLUS

CN Propanoic acid, 2-[[1-[2-[[[(2,3-dichlorophenyl)amino]carbonyl](2-phenylethyl)amino]ethyl]-2,3-dihydro-1H-indol-5-yl]oxy]-2-methyl- (9CI) (CA INDEX NAME)

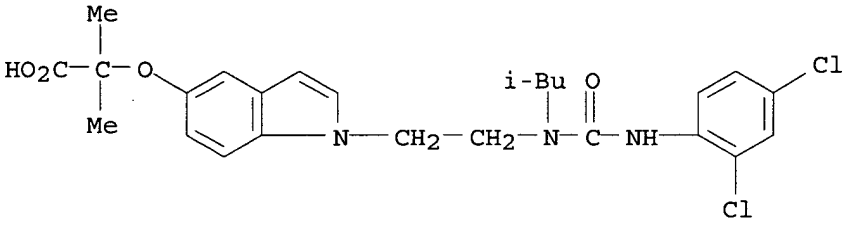


RN 435277-38-6 CAPLUS

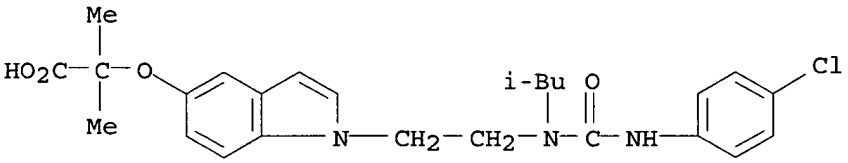
CN Propanoic acid, 2-[[1-[2-[[[(2,3-dichlorophenyl)amino]carbonyl](2-methylpropyl)amino]ethyl]-1H-indol-5-yl]oxy]-2-methyl- (9CI) (CA INDEX NAME)



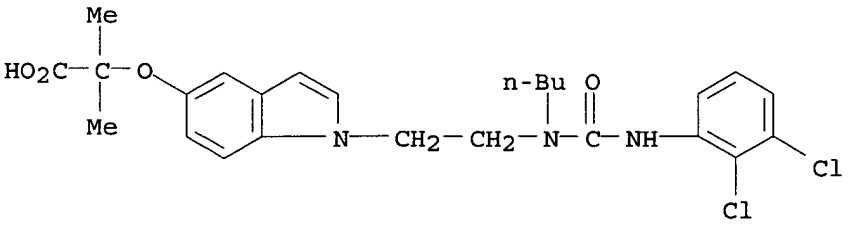
RN 435277-39-7 CAPLUS
CN Propanoic acid, 2-[[1-[2-[[[(2,4-dichlorophenyl)amino]carbonyl](2-methylpropyl)amino]ethyl]-1H-indol-5-yl]oxy]-2-methyl- (9CI) (CA INDEX NAME)



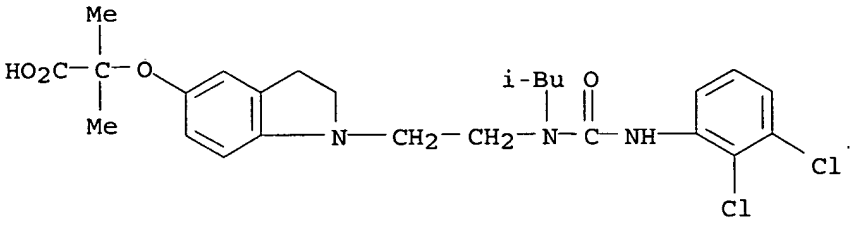
RN 435277-40-0 CAPLUS
CN Propanoic acid, 2-[[1-[2-[[[(4-chlorophenyl)amino]carbonyl](2-methylpropyl)amino]ethyl]-1H-indol-5-yl]oxy]-2-methyl- (9CI) (CA INDEX NAME)



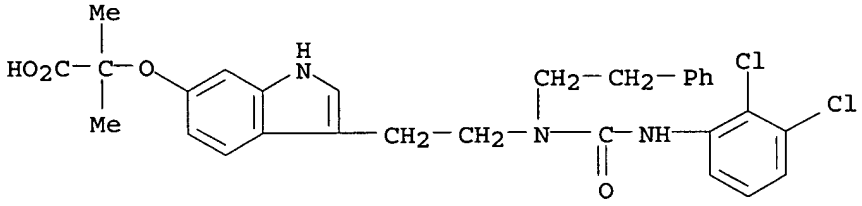
RN 435277-41-1 CAPLUS
CN Propanoic acid, 2-[[1-[2-[butyl[[(2,3-dichlorophenyl)amino]carbonyl]amino]ethyl]-1H-indol-5-yl]oxy]-2-methyl- (9CI) (CA INDEX NAME)



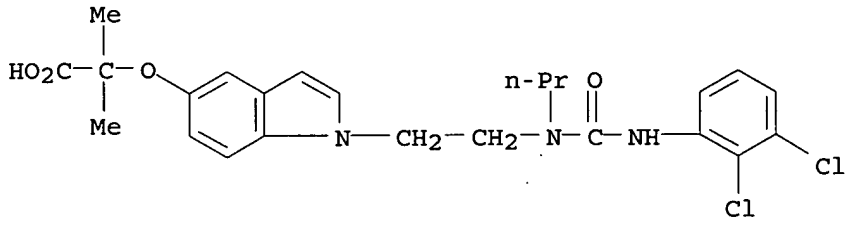
RN 435277-42-2 CAPLUS
CN Propanoic acid, 2-[[1-[2-[[[(2,3-dichlorophenyl)amino]carbonyl](2-methylpropyl)amino]ethyl]-2,3-dihydro-1H-indol-5-yl]oxy]-2-methyl- (9CI) (CA INDEX NAME)



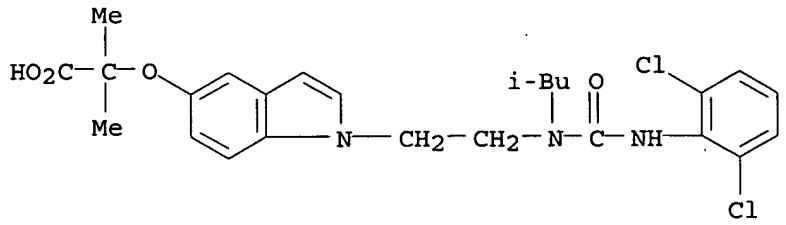
RN 435277-43-3 CAPLUS
CN Propanoic acid, 2-[[3-[2-[[[(2,3-dichlorophenyl)amino]carbonyl](2-phenylethyl)amino]ethyl]-1H-indol-6-yl]oxy]-2-methyl- (9CI) (CA INDEX NAME)



RN 435277-44-4 CAPLUS
CN Propanoic acid, 2-[[1-[2-[[[(2,3-dichlorophenyl)amino]carbonyl]propylamino]ethyl]-1H-indol-5-yl]oxy]-2-methyl- (9CI) (CA INDEX NAME)

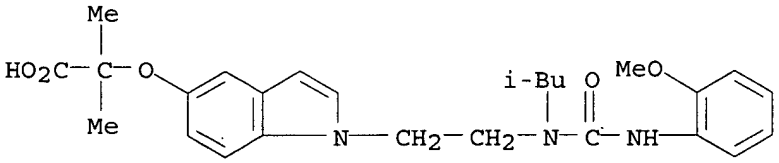


RN 435277-45-5 CAPLUS
CN Propanoic acid, 2-[[1-[2-[[[(2,6-dichlorophenyl)amino]carbonyl](2-methylpropyl)amino]ethyl]-1H-indol-5-yl]oxy]-2-methyl- (9CI) (CA INDEX NAME)

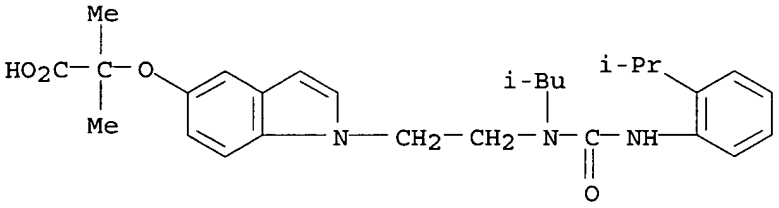


RN 435277-46-6 CAPLUS
CN Propanoic acid, 2-[[1-[2-[[[(2-methoxyphenyl)amino]carbonyl](2-

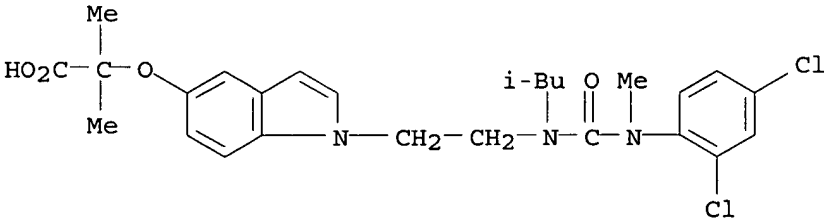
methylpropyl)amino]ethyl]-1H-indol-5-yl]oxy]-2-methyl- (9CI) (CA INDEX NAME)



RN 435277-47-7 CAPLUS
CN Propanoic acid, 2-methyl-2-[[1-[2-[[[[2-(1-methylethyl)phenyl]amino]carbonyl](2-methylpropyl)amino]ethyl]-1H-indol-5-yl]oxy]- (9CI) (CA INDEX NAME)

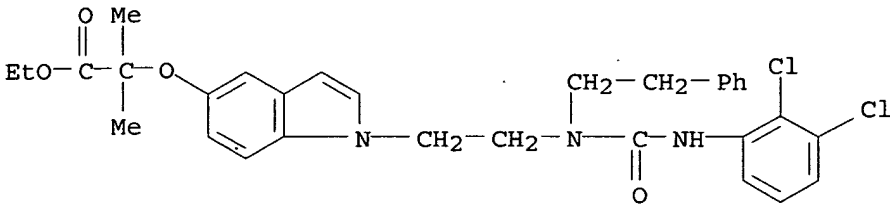


RN 435277-48-8 CAPLUS
CN Propanoic acid, 2-[[1-[2-[[[(2,4-dichlorophenyl)methylamino]carbonyl](2-methylpropyl)amino]ethyl]-1H-indol-5-yl]oxy]-2-methyl- (9CI) (CA INDEX NAME)

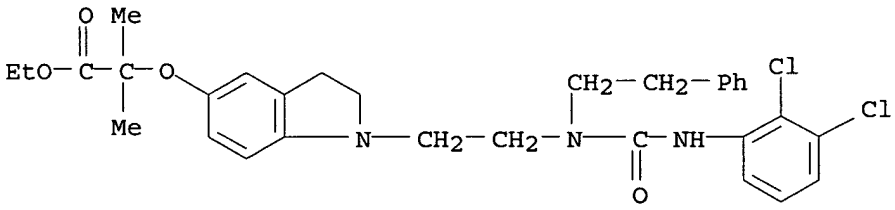


IT **435277-56-8P 435277-62-6P 435277-70-6P**
435277-71-7P 435277-72-8P 435277-79-5P
435277-82-0P 435277-89-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of N-indolylurea derivs. as peroxisome proliferator activated receptor δ (PPAR δ) activators for drugs)

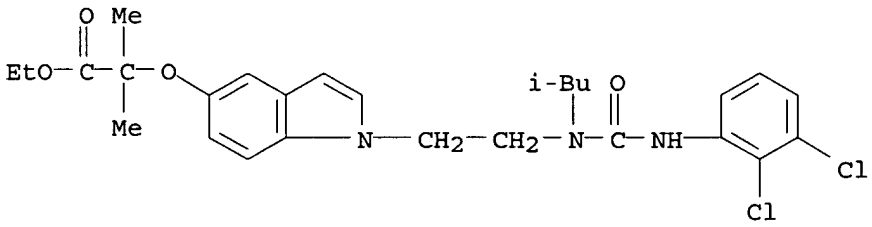
RN 435277-56-8 CAPLUS
CN Propanoic acid, 2-[[1-[2-[[[(2,3-dichlorophenyl)amino]carbonyl](2-phenylethyl)amino]ethyl]-1H-indol-5-yl]oxy]-2-methyl-, ethyl ester (9CI) (CA INDEX NAME)



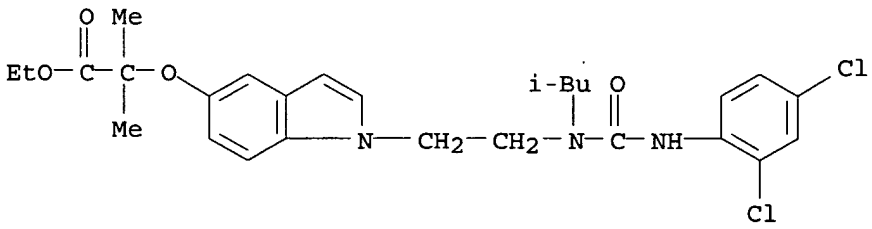
RN 435277-62-6 CAPLUS
CN Propanoic acid, 2-[[1-[2-[[[(2,3-dichlorophenyl)amino]carbonyl](2-phenylethyl)amino]ethyl]-2,3-dihydro-1H-indol-5-yl]oxy]-2-methyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 435277-70-6 CAPLUS
CN Propanoic acid, 2-[[1-[2-[[[(2,3-dichlorophenyl)amino]carbonyl](2-methylpropyl)amino]ethyl]-1H-indol-5-yl]oxy]-2-methyl-, ethyl ester (9CI) (CA INDEX NAME)

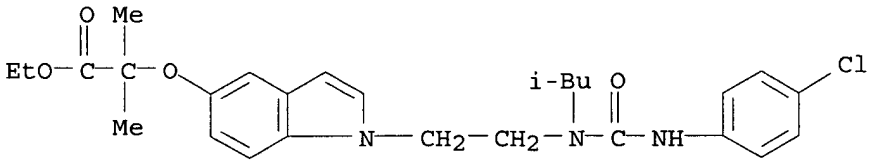


RN 435277-71-7 CAPLUS
CN Propanoic acid, 2-[[1-[2-[[[(2,4-dichlorophenyl)amino]carbonyl](2-methylpropyl)amino]ethyl]-1H-indol-5-yl]oxy]-2-methyl-, ethyl ester (9CI) (CA INDEX NAME)

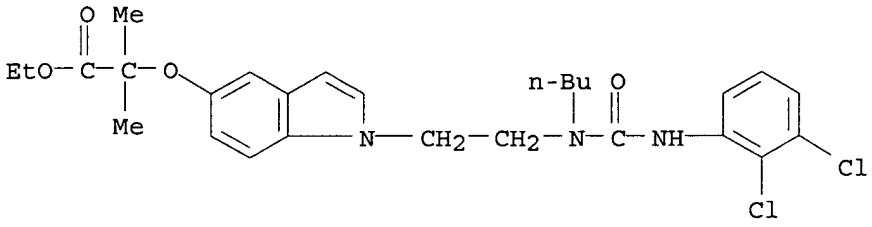


RN 435277-72-8 CAPLUS
CN Propanoic acid, 2-[[1-[2-[[[(4-chlorophenyl)amino]carbonyl](2-methylpropyl)amino]ethyl]-1H-indol-5-yl]oxy]-2-methyl-, ethyl ester (9CI)

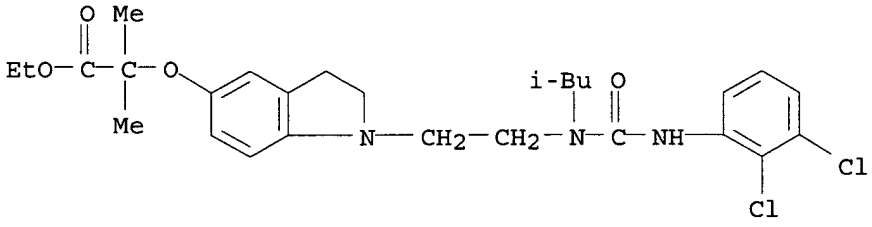
(CA INDEX NAME)



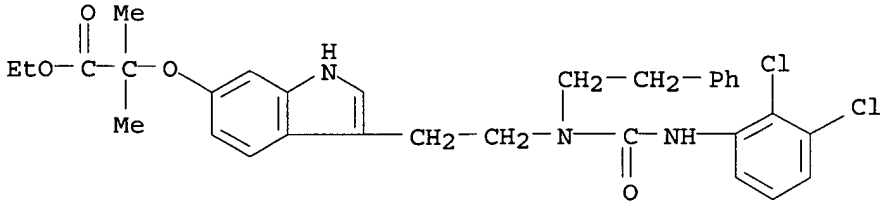
RN 435277-79-5 CAPLUS
CN Propanoic acid, 2-[[1-[2-[butyl[[(2,3-dichlorophenyl)amino]carbonyl]amino]ethyl]-1H-indol-5-yl]oxy]-2-methyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 435277-82-0 CAPLUS
CN Propanoic acid, 2-[[1-[2-[[[(2,3-dichlorophenyl)amino]carbonyl](2-methylpropyl)amino]ethyl]-2,3-dihydro-1H-indol-5-yl]oxy]-2-methyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 435277-89-7 CAPLUS
CN Propanoic acid, 2-[[3-[2-[[[(2,3-dichlorophenyl)amino]carbonyl](2-phenylethyl)amino]ethyl]-1H-indol-6-yl]oxy]-2-methyl-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

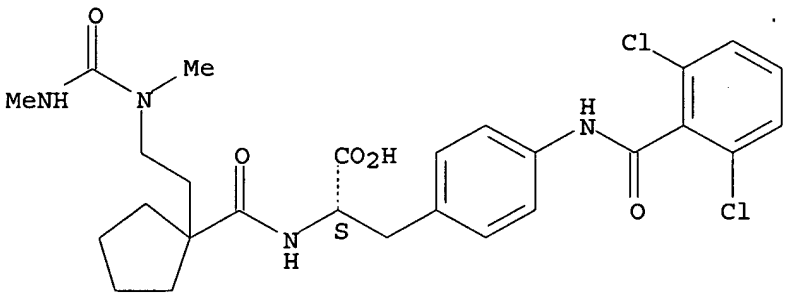
L73 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2002:585055 CAPLUS
DOCUMENT NUMBER: 138:214842
TITLE: N-Cycloalkanoyl-l-Phenylalanine Derivatives as VCAM/VLA-4 Antagonists
AUTHOR(S): Sidduri, Achyutharao; Tilley, Jefferson W.; Hull, Kenneth; Lou, Jian Ping; Kaplan, Gerry; Sheffron, Allen; Chen, Li; Campbell, Robert; Guthrie, Robert; Huang, Tai-Nan; Huby, Nicholas; Rowan, Karen; Schwinge, Virginia; Renzetti, Louis M.
CORPORATE SOURCE: Roche Research Center, Hoffmann-La Roche Inc., Nutley, NJ, 07110, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(17), 2475-2478
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:214842

AB A systematic structure-activity relationship investigation of the lead compound, cycloalkanoyl phenylalanine derivative resulted the identification of several N-[(substituted alkyl)cycloalkanoyl]-4-[((2,6-dichlorophenyl)carbonyl)amino]-l-phenylalanine derivs. as potent VCAM/VLA-4 antagonists. The data are consistent with a model of these compds. in which these alkanoylphenylalanines reside in a compact gauche (-) bioactive conformation.

IT **220878-30-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and structure-activity relationship of N-cycloalkanoyl-l-phenylalanine derivs. as VCAM/VLA-4 antagonists)

RN 220878-30-8 CAPLUS
CN L-Phenylalanine, 4-[(2,6-dichlorobenzoyl)amino]-N-[[1-[2-[methyl[(methylamino)carbonyl]amino]ethyl]cyclopentyl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L73 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:166589 CAPLUS
DOCUMENT NUMBER: 130:209978
TITLE: Preparation of N-aroylphenylalanine derivatives as vascular cell adhesion molecule-1 (VCAM-1) binding

inhibitors
INVENTOR(S): Chen, Li; Guthrie, Robert William; Huang, Tai-Nang; Hull, Kenneth G.; Sidduri, Achytharao; Tilley, Jefferson Wright
PATENT ASSIGNEE(S): F.Hoffmann-La Roche A.-G., Switz.
SOURCE: PCT Int. Appl., 215 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9910313	A1	19990304	WO 1998-EP5144		19980813
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW					
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
CA 2300121	AA	19990304	CA 1998-2300121		19980813
AU 9893419	A1	19990316	AU 1998-93419		19980813
AU 742928	B2	20020117			
EP 1005446	A1	20000607	EP 1998-946326		19980813
EP 1005446	B1	20040225			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI					
TR 200000481	T2	20000621	TR 2000-200000481		19980813
BR 9811988	A	20000905	BR 1998-11988		19980813
JP 2001514163	T2	20010911	JP 2000-507644		19980813
JP 3727536	B2	20051214			
AT 260243	E	20040315	AT 1998-946326		19980813
PT 1005446	T	20040630	PT 1998-946326		19980813
ES 2214728	T3	20040916	ES 1998-946326		19980813
ZA 9807602	A	19990504	ZA 1998-7602		19980821
US 6455550	B1	20020924	US 1998-138353		19980821
TW 515792	B	20030101	TW 1998-87113767		19980821
US 2003109459	A1	20030612	US 2002-117616		20020405
US 6806365	B2	20041019			
US 2004210051	A1	20041021	US 2004-828771		20040421
PRIORITY APPLN. INFO.:			US 1997-56929P	P	19970822
			US 1998-94591P	P	19980729
			WO 1998-EP5144	W	19980813
			US 1998-138353	B3	19980821
			US 2002-117616	A3	20020405

OTHER SOURCE(S): MARPAT 130:209978
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

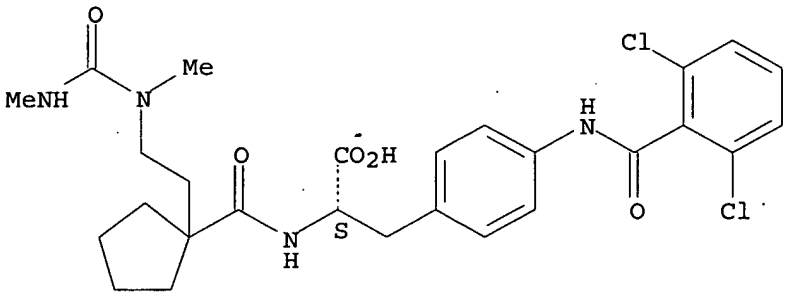
AB Title compds. I [one of X, X1 = H, halo, lower alkyl and the other = (un)substituted group X6, X7, X10; R1 = H, lower alkyl; n = 0, 1; Het = 5-6 membered heteroarom. ring containing 1-3 heteroatoms N, O, S, or 9-10 membered bicyclic heteroarom. ring containing 1-4 heteroatoms N, O, S; R19 = (un)substituted lower alkyl, aryl, heteroaryl, arylalkyl, heteroarylalkyl;

R18 = H, any group R19; R20 = (un)substituted lower alkyl, aroyl, lower alkanoyl; Y = CR22R23R24, 3-7 membered ring Y2; R22, R23 = (un)substituted aryl, heteroaryl, lower alkyl; R24 = H, CN, (un)substituted aryl, lower alkyl, with provisos; R25 = lower alkyl, F-(un)substituted lower alkenyl, R26(CH2)m; R26 = aryl, heteroaryl, N3, CN, OH, NO2, amino, lower alkoxy, lower alkoxycarbonyl, lower alkanoyl, lower alkylthio, lower alkylsulfonyl, lower alkylsulfinyl, etc.; Q = bond, (CH2)pO, (CH2)pS, (CH2)p; m = 0-4; p = 0-3; Z = H, lower alkyl] and pharmaceutically acceptable salts and esters thereof, are disclosed which have activity as inhibitors of binding between VCAM-1 and cells expressing integrin VLA-4. Such compds. are useful for treating diseases whose symptoms and /or damage are related to the binding of VCAM-1 to cells expressing VLA-4. Thus, amidation of 4-amino-N-[(1-phenylcyclopentyl)carbonyl]-L-phenylalanine Me ester (preparation given) with 4-quinolinecarboxylic acid and saponification gave desired title derivative II as its sodium salt. II inhibited VLA-4 binding to immobilized VCAM-1 with IC50 = 2.7 nM in solid-phase dual antibody assay.

IT **220878-30-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-aroylphenylalanine derivs. as vascular cell adhesion mol.-1 (VCAM-1) binding inhibitors)

RN 220878-30-8 CAPLUS
CN L-Phenylalanine, 4-[(2,6-dichlorobenzoyl)amino]-N-[[1-[2-[methyl[(methylamino)carbonyl]amino]ethyl]cyclopentyl]carbonyl]- (9CI) (CA INDEX NAME)

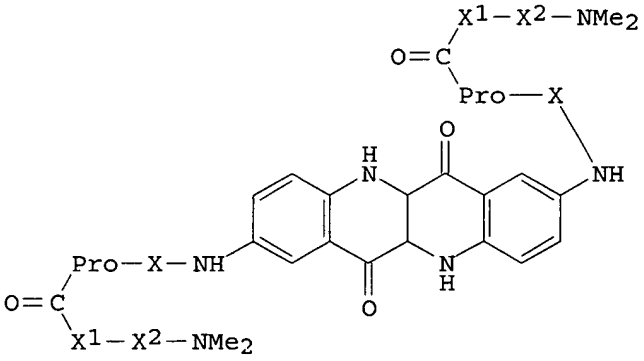
Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L73 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1990:515849 CAPLUS
DOCUMENT NUMBER: 113:115849
TITLE: Synthesis and conformational analysis of epindolidione-derived peptide models for β-sheet formation
AUTHOR(S): Kemp, D. S.; Bowen, Benjamin R.; Muendel, Christopher C.
CORPORATE SOURCE: Dep. Chem., Massachusetts Inst. Technol., Cambridge, MA, 02139, USA
SOURCE: Journal of Organic Chemistry (1990), 55(15), 4650-7
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal

LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:115849
GI



I

AB The title compds. I (X = Gly, D-Ala; X1 = Gly, Ala, D-Ala, NHCMe2CO; X2 = Phe, Ala, D-Phe) were prepared and the β-turn-forming tendencies of the compds. were determined from 1H NMR evidence.

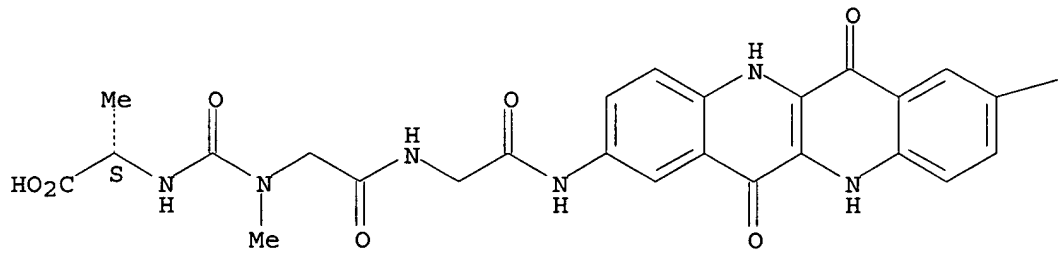
IT **128302-71-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and peptide coupling reaction of)

RN 128302-71-6 CAPLUS

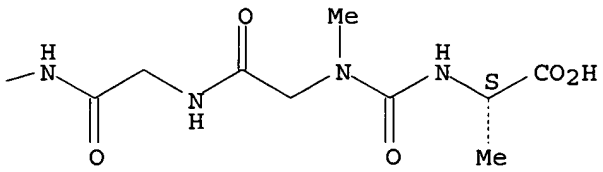
CN Glycinamide, N-[[(1-carboxyethyl)amino]carbonyl]-N-methylglycyl-N-[8-[[N-[N-[[(1-carboxyethyl)amino]carbonyl]-N-methylglycyl]glycyl]amino]-5,6,11,12-tetrahydro-6,12-dioxodibenzo[b,g][1,5]naphthyridin-2-yl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

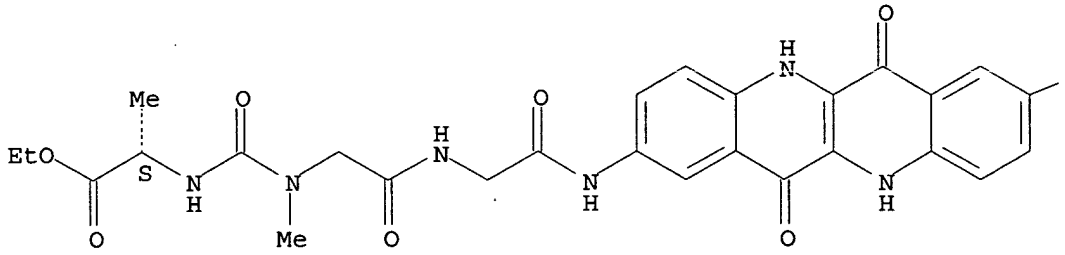


IT **128326-90-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

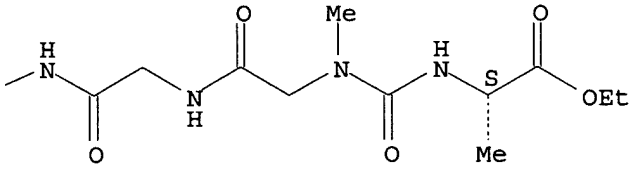
(Reactant or reagent)
(preparation and saponification of)
RN 128326-90-9 CAPLUS
CN Glycinamide, N-[[(2-ethoxy-1-methyl-2-oxoethyl)amino]carbonyl]-N-methylglycyl-N-[8-[[N-[N-[[(2-ethoxy-1-methyl-2-oxoethyl)amino]carbonyl]-N-methylglycyl]glycyl]amino]-5,6,11,12-tetrahydro-6,12-dioxodibenzo[b,g][1,5]naphthyridin-2-yl]-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

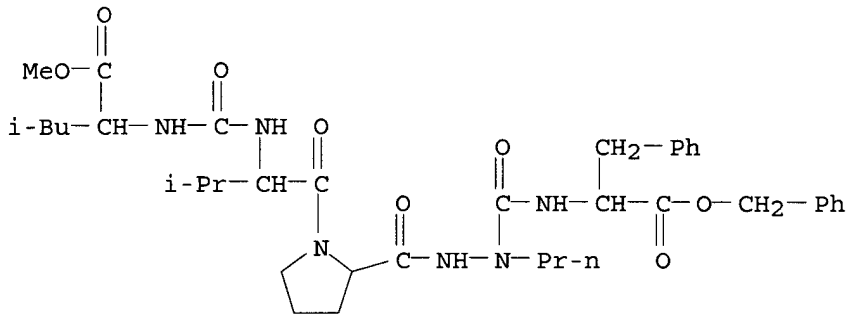


PAGE 1-B



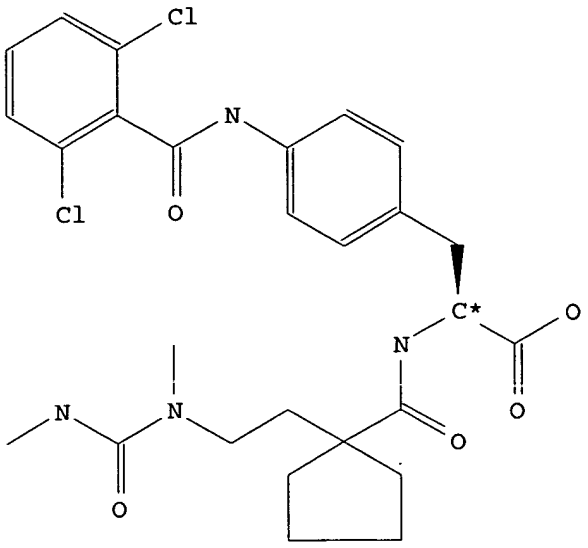
L73 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1988:56570 CAPLUS
DOCUMENT NUMBER: 108:56570
TITLE: Inhibitors of human leucocyte elastase. Peptides incorporating an α-azanorvaline residue or a thiomethylene linkage in place of a peptide bond
AUTHOR(S): Dutta, Anand S.; Giles, Michael B.; Gormley, James J.; Williams, Joseph C.; Kusner, Edward J.
CORPORATE SOURCE: Pharm. Div., Imp. Chem. Ind. PLC, Macclesfield/Cheshire, UK
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1987), (1), 111-20
CODEN: JCPRB4; ISSN: 0300-922X
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 108:56570
AB Peptides containing an α-azanorvaline residue at the C-terminus and N-[(1-methoxycarbonylalkyl)carbamoyl] group at the N-terminus have been made as inhibitors of human leukocyte elastase. A number of analogs with an amide bond replaced by a thiomethylene group have also been prepared The analogs were tested against leukocyte elastase using MeO-Suc-Ala-Pro-Val-p-nitroanilide as a substrate. Both types of analogs inhibited the leukocyte elastase, the most potent of these was N-[(1-methoxycarbonylbutyl)carbamoyl]-L-prolyl-α-azanorvaline Ph ester (IC50 0.28 μM, Ki 0.02 μM).

IT **112382-86-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and leukocyte elastase-inhibiting activity of)
RN 112382-86-2 CAPLUS
CN L-Phenylalanine, N-[[[1-(methoxycarbonyl)-3-methylbutyl]amino]carbonyl]-L-valyl-L-prolyl-2-azanorvalyl-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)



L73 ANSWER 8 OF 9 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

Beilstein Records (BRN):	9240687
Chemical Name (CN):	3-<4-(2,6-dichloro-benzoylamino)-phenyl>-2-(<1-<2-(1,3-dimethyl-ureido)-ethyl>-cyclopentanecarbonyl>-amino)-propionic acid
Autonom Name (AUN):	3-<4-(2,6-dichloro-benzoylamino)-phenyl>-2-(<1-<2-(1,3-dimethyl-ureido)-ethyl>-cyclopentanecarbonyl>-amino)-propionic acid
Molec. Formula (MF):	C27 H32 Cl2 N4 O5
Molecular Weight (MW):	563.48
Lawson Number (LN):	16049, 15996, 10583, 2817, 1762
File Segment (FS):	Stereo compound
Compound Type (CTYPE):	isocyclic
Constitution ID (CONSID):	7804837
Tautomer ID (TAUTID):	8681404
Entry Date (DED):	2003/01/18
Update Date (DUPD):	2003/01/18



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	5
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
DED	Entry Date	1
DUPD	Update Date	1
PHARM	Pharmacological Data	2

This substance also occurs in Reaction Documents:

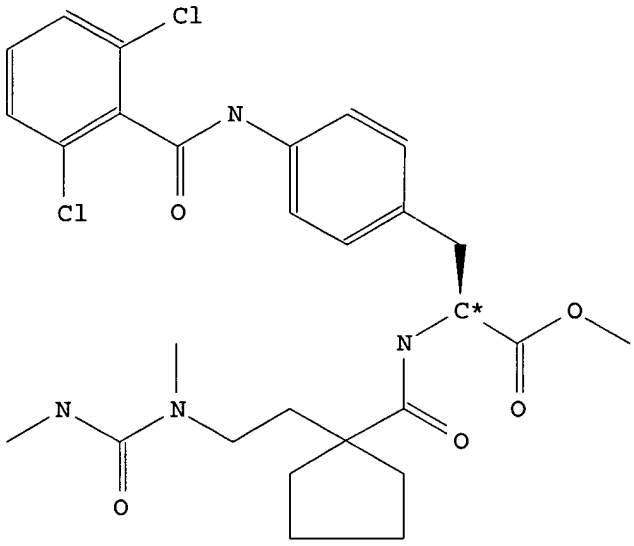
Code	Name	Occurrence
RX	Reaction Documents	1
RXPRO	Substance is Reaction Product	1

All References:
ALLREF

1. Sidduri, Achyutharao; Tilley, Jefferson W.; Hull, Kenneth; Lou, Jian Ping; Kaplan, Gerry; Sheffron, Allen; Chen, Li; Campbell, Robert; Guthrie, Robert; Huang, Tai-Nan; Huby, Nicholas; et al., Bioorg.Med.Chem.Lett., CODEN: BMCLE8, 12(17), <2002>, 2475 - 2478; BABS-6360970

L73 ANSWER 9 OF 9 BEILSTEIN COPYRIGHT 2006 BEILSTEIN MDL on STN

Beilstein Records (BRN):	9240230
Chemical Name (CN):	3-<4-(2,6-dichloro-benzoylamino)-phenyl>-2-(<1-<2-(1,3-dimethyl-ureido)-ethyl>-cyclopentanecarbonyl>-amino)-propionic acid methyl ester
Autonom Name (AUN):	3-<4-(2,6-dichloro-benzoylamino)-phenyl>-2-(<1-<2-(1,3-dimethyl-ureido)-ethyl>-cyclopentanecarbonyl>-amino)-propionic acid methyl ester
Molec. Formula (MF):	C28 H34 Cl2 N4 O5
Molecular Weight (MW):	577.51
Lawson Number (LN):	16049, 15996, 10583, 2817, 1762, 289
File Segment (FS):	Stereo compound
Compound Type (CTYPE):	isocyclic
Constitution ID (CONSID):	7804991
Tautomer ID (TAUTID):	8681343
Entry Date (DED):	2003/01/18
Update Date (DUPD):	2003/01/18



Field Availability:

Code	Name	Occurrence
BRN	Beilstein Records	1
CN	Chemical Name	1
AUN	Autonomname	1
MF	Molecular Formula	1
FW	Formular Weight	1
LN	Lawson Number	6
FS	File Segment	1
CTYPE	Compound Type	1
CONSID	Constitution ID	1
TAUTID	Tautomer ID	1
DED	Entry Date	1
DUPD	Update Date	1

This substance also occurs in Reaction Documents:

Code	Name	Occurrence
RX	Reaction Documents	1
RXREA	Substance is Reaction Reactant	1

All References:
ALLREF

1. Sidduri, Achyutharao; Tilley, Jefferson W.; Hull, Kenneth; Lou, Jian Ping; Kaplan, Gerry; Sheffron, Allen; Chen, Li; Campbell, Robert; Guthrie, Robert; Huang, Tai-Nan; Huby, Nicholas; et al., Bioorg.Med.Chem.Lett., CODEN: BMCLE8, 12(17), <2002>, 2475 - 2478; BABS-6360970

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Search history


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=> d his full

     (FILE 'HOME' ENTERED AT 14:18:51 ON 12 SEP 2006)

     FILE 'REGISTRY' ENTERED AT 14:18:56 ON 12 SEP 2006
L1                STRUCTURE UPLOADED
L2              5 SEA SSS SAM L1
                  D SCA
                  D STAT QUE L2
L3            291 SEA SSS FUL L1

     FILE 'CAPLUS' ENTERED AT 14:22:49 ON 12 SEP 2006
L4             88 SEA ABB=ON  PLU=ON  L3

     FILE 'STNGUIDE' ENTERED AT 14:23:04 ON 12 SEP 2006

     FILE 'REGISTRY' ENTERED AT 14:30:27 ON 12 SEP 2006
                  SAVE TEMP L3 VAL324STRA/A
L5                STRUCTURE UPLOADED
L6              0 SEA SUB=L3 SSS SAM L5
L7              0 SEA SUB=L3 SSS FUL L5

     FILE 'STNGUIDE' ENTERED AT 14:32:10 ON 12 SEP 2006

     FILE 'REGISTRY' ENTERED AT 14:33:40 ON 12 SEP 2006
L8                STRUCTURE UPLOADED
L9             13 SEA SUB=L3 SSS SAM L8
L10           235 SEA SUB=L3 SSS FUL L8
                  SAVE TEMP L10 VAL324STRC/A
                  D SCA L10
L11            56 SEA ABB=ON  PLU=ON  L3 NOT L10

     FILE 'CAPLUS' ENTERED AT 14:48:11 ON 12 SEP 2006
L12             8 SEA ABB=ON  PLU=ON  L11
                  E US2003-789324/AP
                  E US2004-789324/APPS
L13             1 SEA ABB=ON  PLU=ON  US2004-789324/AP
                  D SCA
                  SEL RN

     FILE 'REGISTRY' ENTERED AT 14:50:24 ON 12 SEP 2006
L14            53 SEA ABB=ON  PLU=ON  (103-71-9/BI OR 104-84-7/BI OR 106-95-6/BI
                  OR 109-90-0/BI OR 111-36-4/BI OR 111-68-2/BI OR 13518-40-6/BI
                  OR 20498-05-9/BI OR 20558-06-9/BI OR 27784-76-5/BI OR 3173-53-3
                  /BI OR 35000-38-5/BI OR 408312-31-2/BI OR 4350-83-8/BI OR
                  50-99-7/BI OR 5813-64-9/BI OR 58479-61-1/BI OR 74-88-4/BI OR
                  75-03-6/BI OR 752212-99-0/BI OR 752213-02-8/BI OR 752213-04-0/B
                  I OR 754234-67-8/BI OR 754234-69-0/BI OR 754234-71-4/BI OR
                  754234-73-6/BI OR 754234-75-8/BI OR 754234-77-0/BI OR 754234-79
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                  754235-09-1/BI OR 754235-11-5/BI OR 754235-13-7/BI OR 754235-18
                  -2/BI OR 754235-19-3/BI OR 754235-21-7/BI OR 754235-75-1/BI)

     FILE 'CAPLUS' ENTERED AT 14:50:34 ON 12 SEP 2006
L15        244675 SEA ABB=ON  PLU=ON  L14
L16             1 SEA ABB=ON  PLU=ON  L15 AND L13
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                D SCA
L17           1 SEA ABB=ON  PLU=ON  L13 AND L12
                D SCA

     FILE 'REGISTRY' ENTERED AT 14:52:36 ON 12 SEP 2006

     FILE 'CAPLUS' ENTERED AT 14:52:48 ON 12 SEP 2006
L18        5915 SEA ABB=ON  PLU=ON  L14/PREP
L19           1 SEA ABB=ON  PLU=ON  L13 AND L18
                D SCA
                SEL HIT RN

     FILE 'REGISTRY' ENTERED AT 14:53:16 ON 12 SEP 2006
L20          35 SEA ABB=ON  PLU=ON  (20558-06-9/BI OR 408312-31-2/BI OR
                752212-99-0/BI OR 752213-02-8/BI OR 752213-04-0/BI OR 754234-67
                -8/BI OR 754234-69-0/BI OR 754234-71-4/BI OR 754234-73-6/BI OR
                754234-75-8/BI OR 754234-77-0/BI OR 754234-79-2/BI OR 754234-82
                -7/BI OR 754234-84-9/BI OR 754234-85-0/BI OR 754234-87-2/BI OR
                754234-89-4/BI OR 754234-91-8/BI OR 754234-93-0/BI OR 754234-94
                -1/BI OR 754234-96-3/BI OR 754234-99-6/BI OR 754235-00-2/BI OR
                754235-02-4/BI OR 754235-04-6/BI OR 754235-06-8/BI OR 754235-07
                -9/BI OR 754235-08-0/BI OR 754235-09-1/BI OR 754235-11-5/BI OR
                754235-13-7/BI OR 754235-18-2/BI OR 754235-19-3/BI OR 754235-21
                -7/BI OR 754235-75-1/BI)
L21          18 SEA ABB=ON  PLU=ON  L20 NOT L11
                D SCA

     FILE 'REGISTRY' ENTERED AT 14:54:44 ON 12 SEP 2006
L22             ANALYZE PLU=ON  L11 1- LC :       6 TERMS
                D
L23           0 SEA ABB=ON  PLU=ON  L11 AND BEILSTEIN/LC NOT CAPLUS/LC

     FILE 'STNGUIDE' ENTERED AT 14:55:41 ON 12 SEP 2006

     FILE 'TOXCENTER' ENTERED AT 15:01:40 ON 12 SEP 2006
L24           2 SEA ABB=ON  PLU=ON  L11
                D COST

     FILE 'BEILSTEIN' ENTERED AT 15:03:22 ON 12 SEP 2006
L25           3 SEA SSS SAM L1
L26          40 SEA SSS FUL L1
L27          36 SEA SSS FUL L8
L28           4 SEA ABB=ON  PLU=ON  L26 NOT L27
L29           2 SEA ABB=ON  PLU=ON  L28 AND RN/FA
L30           2 SEA ABB=ON  PLU=ON  L28 NOT L29

     FILE 'CAPLUS' ENTERED AT 15:05:41 ON 12 SEP 2006
L31          22 SEA ABB=ON  PLU=ON  STAPPER C?/AU
L32          78 SEA ABB=ON  PLU=ON  GLOMBIK H?/AU
L33         196 SEA ABB=ON  PLU=ON  FALK E?/AU
L34          11 SEA ABB=ON  PLU=ON  GRETZKE D?/AU
L35          14 SEA ABB=ON  PLU=ON  GOERLITZER J?/AU
L36         116 SEA ABB=ON  PLU=ON  KEIL S?/AU
L37        3586 SEA ABB=ON  PLU=ON  SCHAEFER H?/AU
L38          52 SEA ABB=ON  PLU=ON  WENDLER W?/AU
L39          11 SEA ABB=ON  PLU=ON  L31 AND (L32 OR L33 OR L34 OR L35 OR L36
                OR L37 OR L38)
L40          35 SEA ABB=ON  PLU=ON  L32 AND (L33 OR L34 OR L35 OR L36 OR L37
                OR L38)
L41          21 SEA ABB=ON  PLU=ON  L33 AND (L34 OR L35 OR L36 OR L37 OR L38)
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L42            8 SEA ABB=ON  PLU=ON  L34 AND (L35 OR L36 OR L37 OR L38)
L43           11 SEA ABB=ON  PLU=ON  L35 AND (L36 OR L37 OR L38)
L44           19 SEA ABB=ON  PLU=ON  L36 AND (L37 OR L38)
L45           14 SEA ABB=ON  PLU=ON  L37 AND L38
L46           46 SEA ABB=ON  PLU=ON  (L39 OR L40 OR L41 OR L42 OR L43 OR L44 OR
                 L45)
L47           11 SEA ABB=ON  PLU=ON  L39 AND (L40 OR L41 OR L42 OR L43 OR L44
                 OR L45)
L48           15 SEA ABB=ON  PLU=ON  L40 AND (L41 OR L42 OR L43 OR L44 OR L45)
L49           14 SEA ABB=ON  PLU=ON  L41 AND (L42 OR L43 OR L44 OR L45)
L50            8 SEA ABB=ON  PLU=ON  L42 AND (L43 OR L44 OR L45)
L51           11 SEA ABB=ON  PLU=ON  L43 AND (L44 OR L45)
L52           14 SEA ABB=ON  PLU=ON  L44 AND L45
L53           20 SEA ABB=ON  PLU=ON  (L47 OR L48 OR L49 OR L50 OR L51 OR L52)

     FILE 'STNGUIDE' ENTERED AT 15:09:48 ON 12 SEP 2006

     FILE 'CAPLUS' ENTERED AT 15:09:59 ON 12 SEP 2006
L54            1 SEA ABB=ON  PLU=ON  (L31 OR L32 OR L33 OR L34 OR L35 OR L36 OR
                 L37 OR L38) AND L12

     FILE 'MEDLINE, EMBASE, BIOSIS' ENTERED AT 15:10:40 ON 12 SEP 2006
L55            5 SEA ABB=ON  PLU=ON  L53
L56           27 SEA ABB=ON  PLU=ON  L46

     FILE 'WPIX' ENTERED AT 15:11:07 ON 12 SEP 2006
L57           16 SEA ABB=ON  PLU=ON  (L47 OR L48 OR L49 OR L50 OR L51 OR L52)
L58            2 SEA SSS SAM L1
L59           29 SEA SSS FUL L1
                 D SCA

     FILE 'STNGUIDE' ENTERED AT 15:12:39 ON 12 SEP 2006

     FILE 'WPIX' ENTERED AT 15:18:07 ON 12 SEP 2006
L60            1 SEA SSS SAM L8
                 D SCA
L61           25 SEA SSS FUL L8
L62            4 SEA ABB=ON  PLU=ON  L59 NOT L61
L63            3 SEA ABB=ON  PLU=ON  L62/DCR
                 SEL SDCN L62
L64            3 SEA ABB=ON  PLU=ON  (RAFEPR/DCN OR RAMQ5G/DCN OR RAMQ5H/DCN OR
                 RA7PF9/DCN)
                 SEL DCSE L62
L65            3 SEA ABB=ON  PLU=ON  (1306606-0-0-0/DCRE OR 1306607-0-0-0/DCRE
                 OR 572327-0-0-0/DCRE OR 957716-0-0-0/DCRE)
L66            3 SEA ABB=ON  PLU=ON  (L63 OR L64 OR L65)
L67            1 SEA ABB=ON  PLU=ON  L66 AND L57

     FILE 'STNGUIDE' ENTERED AT 15:21:04 ON 12 SEP 2006

     FILE 'REGISTRY' ENTERED AT 15:23:24 ON 12 SEP 2006
                 D STAT QUE L11

     FILE 'CAPLUS' ENTERED AT 15:23:26 ON 12 SEP 2006
                 D QUE NOS L53
                 D QUE NOS L54
L68           20 SEA ABB=ON  PLU=ON  L53 OR L54

     FILE 'MEDLINE, EMBASE, BIOSIS' ENTERED AT 15:23:31 ON 12 SEP 2006
                 D QUE L55
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     FILE 'WPIX' ENTERED AT 15:23:32 ON 12 SEP 2006
                D STAT QUE L57
                D STAT QUE L67
L69           16 SEA ABB=ON  PLU=ON  L57 OR L67

     FILE 'STNGUIDE' ENTERED AT 15:24:14 ON 12 SEP 2006

     FILE 'CAPLUS, BIOSIS, WPIX' ENTERED AT 15:24:46 ON 12 SEP 2006
L70           25 DUP REM L68 L55 L69 (16 DUPLICATES REMOVED)
                     ANSWERS '1-20' FROM FILE CAPLUS
                     ANSWERS '21-25' FROM FILE BIOSIS
                D IBIB ABS HITSTR L70 1-20
                D IALL L70 21-25

     FILE 'STNGUIDE' ENTERED AT 15:26:02 ON 12 SEP 2006

     FILE 'REGISTRY' ENTERED AT 15:29:51 ON 12 SEP 2006

     FILE 'CAPLUS' ENTERED AT 15:29:52 ON 12 SEP 2006
                D STAT QUE L12
L71            7 SEA ABB=ON  PLU=ON  L12 NOT L68

     FILE 'TOXCENTER' ENTERED AT 15:29:55 ON 12 SEP 2006
                D QUE NOS L24

     FILE 'WPIX' ENTERED AT 15:29:56 ON 12 SEP 2006
                D STAT QUE L62
                D STAT QUE L66
L72            2 SEA ABB=ON  PLU=ON  L66 NOT L69

     FILE 'BEILSTEIN' ENTERED AT 15:30:01 ON 12 SEP 2006
                D STAT QUE L30

     FILE 'STNGUIDE' ENTERED AT 15:30:25 ON 12 SEP 2006

     FILE 'CAPLUS, WPIX, TOXCENTER, BEILSTEIN' ENTERED AT 15:31:13 ON 12 SEP
     2006
L73            9 DUP REM L71 L72 L24 L30 (4 DUPLICATES REMOVED)
                     ANSWERS '1-7' FROM FILE CAPLUS
                     ANSWERS '8-9' FROM FILE BEILSTEIN
                D IBIB ABS HITSTR L73 1-7
                D IDE ALLREF L73 8-9


     FILE HOME

     FILE REGISTRY
     Property values tagged with IC are from the ZIC/VINITI data file
     provided by InfoChem.

     STRUCTURE FILE UPDATES:   11 SEP 2006  HIGHEST RN 906423-10-7
     DICTIONARY FILE UPDATES:  11 SEP 2006  HIGHEST RN 906423-10-7

     New CAS Information Use Policies, enter HELP USAGETERMS for details.

     TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

       Please note that search-term pricing does apply when
       conducting SmartSELECT searches.
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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

FILE CAPLUS

FILE COVERS 1907 - 12 Sep 2006 VOL 145 ISS 12
FILE LAST UPDATED: 11 Sep 2006 (20060911/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

FILE STNGUIDE
FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Sep 8, 2006 (20060908/UP).

FILE TOXCENTER

FILE COVERS 1907 TO 12 Sep 2006 (20060912/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

The MEDLINE file segment has been updated with 2006 MEDLINE data and features. See HELP RLOAD for details.

TOXCENTER thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2006 vocabulary.
See http://www.nlm.nih.gov/mesh/
http://www.nlm.nih.gov/pubs/techbull/nd05/nd05_med_data_changes.html
http://www.nlm.nih.gov/pubs/techbull/nd05/nd05_2006_MeSH.html
for a description of changes.

FILE BEILSTEIN
FILE LAST UPDATED ON JUNE 16, 2006

FILE COVERS 1771 TO 2006.
FILE CONTAINS 9,606,495 SUBSTANCES

>>>PLEASE NOTE: Reaction Data and substance data are stored in separate documents and can not be searched together in one query. Reaction data for BEILSTEIN compounds may be displayed immediately with the display codes PRE (preparations) and REA (reactions). A substance answer set retrieved after the search

for a chemical name, a compounds with available reaction information by combining with PRE/FA, REA/FA or more generally with RX/FA. The BEILSTEIN Registry Number (BRN) is the link between a BEILSTEIN compound and belonging reactions. For mo detailed reaction searches BRNs can be searched as reaction partner BRNs Reactant BRN (RX.RBRN) or Product BRN (RX.PBRN).<<<

>>> FOR SEARCHING PREPARATIONS SEE HELP PRE <<<

****
* PLEASE NOTE THAT THERE ARE NO FORMATS FREE OF COST. *
* SET NOTICE FEATURE: THE COST ESTIMATES CALCULATED FOR SET NOTICE *
* ARE BASED ON THE HIGHEST PRICE CATEGORY. THEREFORE; THESE *
* ESTIMATES MAY NOT REFLECT THE ACTUAL COSTS. *
* FOR PRICE INFORMATION SEE HELP COST *
****

NEW

*** PATENT NUMBERS (PN) AND BABS ACCESSION NUMBERS (BABSAN) CAN NOW BE SEARCHED, SELECTED AND TRANSFERRED.**

*** NEW DISPLAY FORMATS ALLREF, ALLP AND BABSAN SHOW ALL REFERENCES, ALL PATENT REFERENCES, OR ALL BABS ACCESSION NUMBERS FOR A COMPOUND AT A GLANCE.**

FILE MEDLINE
FILE LAST UPDATED: 9 Sep 2006 (20060909/UP). FILE COVERS 1950 TO DATE.

On December 11, 2005, the 2006 MeSH terms were loaded.

The MEDLINE reload for 2006 is now (26 Feb.) available. For details on the 2006 reload, enter HELP RLOAD at an arrow prompt (=>).
See also:

http://www.nlm.nih.gov/mesh/
http://www.nlm.nih.gov/pubs/techbull/nd04/nd04_mesh.html
http://www.nlm.nih.gov/pubs/techbull/nd05/nd05_med_data_changes.html
http://www.nlm.nih.gov/pubs/techbull/nd05/nd05_2006_MeSH.html

OLDMEDLINE is covered back to 1950.

MEDLINE thesauri in the /CN, /CT, and /MN fields incorporate the MeSH 2006 vocabulary.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE EMBASE
FILE COVERS 1974 TO 12 Sep 2006 (20060912/ED)

EMBASE has been reloaded. Enter HELP RLOAD for details.

EMBASE is now updated daily. SDI frequency remains weekly (default) and biweekly.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE BIOSIS
FILE COVERS 1969 TO DATE.
CAS REGISTRY NUMBERS AND CHEMICAL NAMES (CNs) PRESENT
FROM JANUARY 1969 TO DATE.

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